



# STIC Search Report

## Biotech-Chem Library

STIC Database Tracking Number: 149293

TO: Ralph J Gitomer  
Location: REM-3D65&3E71  
Art Unit: 1651  
Thursday, April 07, 2005

Case Serial Number: 10/053482

From: Barb O'Bryen  
Location: Biotech-Chem Library  
Remsen 1a69  
Phone: 571-272-2518

*BOB*  
barbara.obryen@uspto.gov

### Search Notes

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=> fil reg; d stat que l11

FILE 'REGISTRY' ENTERED AT 13:42:35 ON 07 APR 2005  
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Property values tagged with IC are from the ZIC/VINITI data file  
 provided by InfoChem.

STRUCTURE FILE UPDATES: 6 APR 2005 HIGHEST RN 848027-68-9  
 DICTIONARY FILE UPDATES: 6 APR 2005 HIGHEST RN 848027-68-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

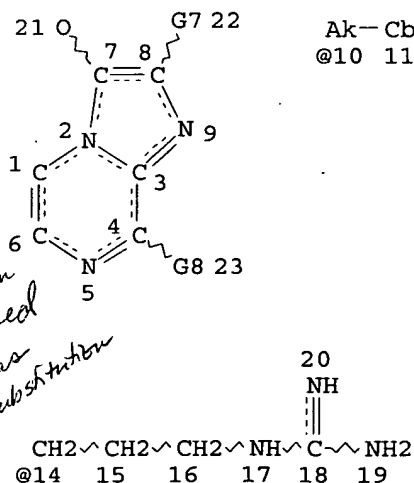
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 conducting SmartSELECT searches.

\*\*\*\*\*  
 \*  
 \* The CA roles and document type information have been removed from \*  
 \* the IDE default display format and the ED field has been added, \*  
 \* effective March 20, 2005. A new display format, IDERL, is now \*  
 \* available and contains the CA role and document type information. \*  
 \*  
 \*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
 information enter HELP PROP at an arrow prompt in the file or refer  
 to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

L8 STR  
 21 O 7 8 G7 22 Ak-Cb Cy @12 Ak @13  
 @10 11



Cb = carbocycle  
 Cy = any cyclic group (unsaturated)  
 AK = alkyl (unsubstituted)

this structure encompasses  
 all of the claims you stated  
 as being of interest

VAR G7=H/10/12/13  
 VAR G8=H/13/12/10/14  
 NODE ATTRIBUTES:  
 CONNECT IS E2 RC AT 10  
 CONNECT IS E1 RC AT 13  
 DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 12  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE

~~L11~~ ~~44~~ ~~SBA~~ FILE-REGISTRY SSS FUL L8

100.0% PROCESSED 11828 ITERATIONS  
SEARCH TIME: 00.00.01

~~44~~ ~~ANSWERS~~

=> fil capl uspatf casre toxcenter; s l11  
~~FILE~~ ~~'CAPLUS'~~ ENTERED AT 13:42:48 ON 07 APR 2005  
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~~FILE~~ ~~'USPATFULL'~~ ENTERED AT 13:42:48 ON 07 APR 2005  
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~~FILE~~ ~~'CASREACT'~~ ENTERED AT 13:42:48 ON 07 APR 2005  
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~~FILE~~ ~~'TOXCENTER'~~ ENTERED AT 13:42:48 ON 07 APR 2005  
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~~L13~~ ~~31~~ ~~L1F~~

=> ~~dup~~ rem l13

PROCESSING COMPLETED FOR L13

L14 27 DUP REM L13 (4 DUPLICATES REMOVED)  
ANSWERS '1-23' FROM FILE CAPLUS  
ANSWERS '24-26' FROM FILE USPATFULL  
ANSWER '27' FROM FILE CASREACT

=> ~~d~~ ~~ibib~~ ~~ed~~ ~~abs~~ ~~hitstr~~ 1-26; ~~d~~ ~~iall~~ 27; fil hom

L14 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 1  
ACCESSION NUMBER: 2004:270174 CAPLUS  
DOCUMENT NUMBER: 140:299425  
TITLE: Luminescent cytochrome P 450 assay using luciferase,  
luciferin derivatives and pyrophosphatase, and drug  
screening applications  
INVENTOR(S): Cali, James J.; Klaubert, Dieter; Daily, William; Ho,  
Samuel Kin Sang; Frackman, Susan; Hawkins, Erika;  
Wood, Keith V.  
PATENT ASSIGNEE(S): Promega Corporation, USA  
SOURCE: PCT Int. Appl., 130 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004027378	A2	20040401	WO 2003-US29078	20030916
WO 2004027378	A3	20041125		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004171099	A1	20040902	US 2003-665314	20030919
PRIORITY APPLN. INFO.:			US 2002-412254P	P 20020920
			US 2003-483309P	P 20030627

OTHER SOURCE(S): MARPAT 140:299425

ED Entered STN: 02 Apr 2004

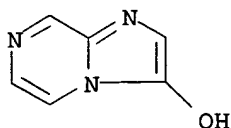
AB The present invention provides methods, compns., substrates, and kits useful for analyzing the metabolic activity in cells, tissue, and animals and for screening test compds. for their effect on cytochrome P 450 activity. In particular, a one-step and two-step methods using luminogenic mols., e.g. luciferin or coelenterazines, that are cytochrome P 450 substrates and that are also bioluminescent enzyme, e.g., luciferase, pro-substrates are provided. Upon addition of the luciferin derivative or other luminogenic mol. into a P 450 reaction, the P 450 enzyme metabolizes the mol. into a bioluminescent enzyme substrate, e.g., luciferin and/or luciferin derivative metabolite, in a P 450 reaction. The resulting metabolite(s) serves as a substrate of the bioluminescent enzyme, e.g., luciferase, in a second light-generating reaction. Luminescent cytochrome P 450 assays with low background signals and high sensitivity are disclosed and isoform selectivity is demonstrated. The present invention also provides an improved method for performing luciferase reactions which employs added pyrophosphatase to remove inorg. pyrophosphate, a luciferase inhibitor which may be present in the reaction mixture as a contaminant or may be generated during the reaction. The present method further provides a method for stabilizing and prolonging the luminescent signal in a luciferase-based assay using luciferase stabilizing agents such as reversible luciferase inhibitors.

IT 676460-49-4D, Imidazo[1,2-a]pyrazin-3-ol, derivs.

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)  
 (luminescent cytochrome P 450 assay using luciferase, luciferin derivs.  
 and pyrophosphatase, and drug screening applications)

RN 676460-49-4 CAPLUS

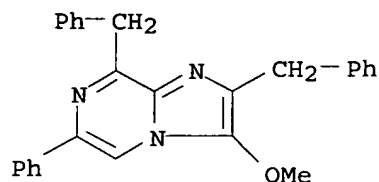
CN Imidazo[1,2-a]pyrazin-3-ol (9CI) (CA INDEX NAME)



IT 676460-47-2P, Coelenterazine HH methyl ether

RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST  
 (Analytical study); PREP (Preparation); USES (Uses)  
 (luminescent cytochrome P 450 assay using luciferase, luciferin derivs.  
 and pyrophosphatase, and drug screening applications)

RN 676460-47-2 CAPLUS

CN Imidazo[1,2-a]pyrazine, 3-methoxy-6-phenyl-2,8-bis(phenylmethyl) - (9CI)  
(CA INDEX NAME)

L14 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2002:906075 CAPLUS

DOCUMENT NUMBER: 138:153360

TITLE: Efficient synthesis of Renilla preluciferin

AUTHOR(S): Teranishi, Katsunori

CORPORATE SOURCE: Faculty of Bioresources, Mie University, Tsu, Mie,  
514-8507, JapanSOURCE: ITE Letters on Batteries, New Technologies & Medicine  
(2002), 3(4), 479-480

CODEN: ILBMF9; ISSN: 1531-2046

PUBLISHER: ITE-IBA Publication Office

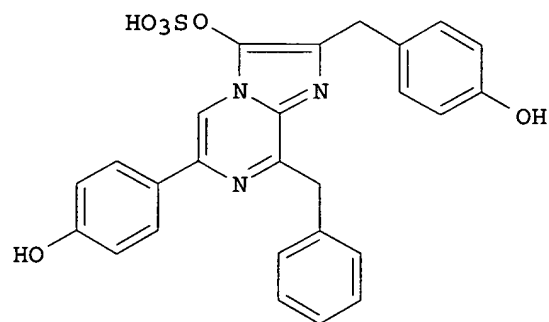
DOCUMENT TYPE: Journal

LANGUAGE: English

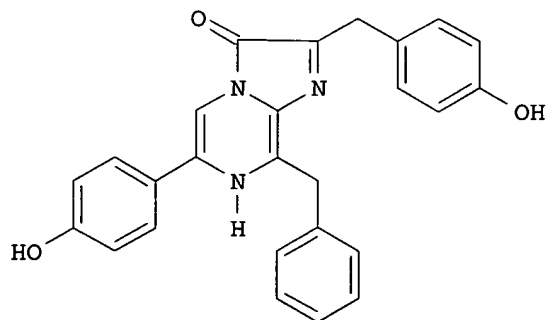
OTHER SOURCE(S): CASREACT 138:153360

ED Entered STN: 29 Nov 2002

GI



I



II

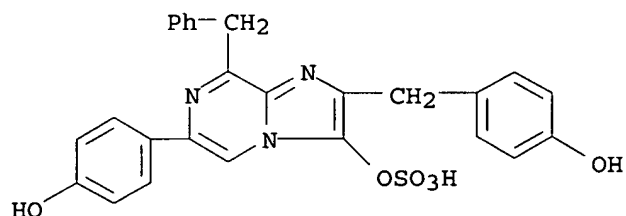
AB Renilla luciferyl sulfate that is Renilla preluciferin I was efficiently synthesized by one-step procedure from coelenterazine (II).

IT 55779-47-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(efficient synthesis of Renilla preluciferin via sulfation of coelenterazine)

RN 55779-47-0 CAPLUS

CN Imidazo[1,2-a]pyrazin-3-ol, 6-(4-hydroxyphenyl)-2-[(4-hydroxyphenyl)methyl]-8-(phenylmethyl)-, 3-(hydrogen sulfate) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2001:851130 CAPLUS

DOCUMENT NUMBER: 135:371764

TITLE: Preparation of aminopyrazines and imidazolopyrazinones as antioxidants

INVENTOR(S): Marchand-Brynaert, Jacqueline; Cavalier, Jean-Francois; Rees, Jean-Francois; De Tollenaere, Catherine; Burton, Maggi

PATENT ASSIGNEE(S): Universite Catholique de Louvain, Belg.

SOURCE: PCT Int. Appl., 57 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087853	A1	20011122	WO 2001-EP5588	20010516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1292580	A1	20030319	EP 2001-943383	20010516
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004034225	A1	20040219	US 2003-276398	20030728
PRIORITY APPLN. INFO.:			EP 2000-870107	A 20000517
			EP 2000-870293	A 20001212

WO 2001-EP5588

W 20010516

OTHER SOURCE(S): CASREACT 135:371764; MARPAT 135:371764

ED Entered STN: 23 Nov 2001

AB Antioxidants, 5 2-amino-(p-hydroxyphenyl)pyrazines and 3 (p-hydroxyphenyl)-3,7-dihydroimidazo[1,2-a]pyrazin-3-ones were prepared and claimed useful in diagnostic procedures, as food additives, polymer additives and as UV screens in cosmetics. E.g., 2-amino-3,5-dibromopyrazine was treated with p-methoxyphenylboronic acid in the presence of bis(benzonitrile)palladium dichloride and 1,4-bis(diphenylphosphino)butane in a solvent mix of EtOH, aqueous sodium carbonate and toluene to give 66% 2-amino-3,5-bis(p-methoxyphenyl)pyrazine, which was demethylated with EtSNa in DMF to give 88% 2-amino-3,5-bis(p-hydroxyphenyl)pyrazine (I). In tests on inhibition of lipid peroxidn. 2-aminopyrazines possessing 2 aryl substituents, one of them being a p-hydroxyphenyl in o- or p- position with respect to the amino group, are endowed with antioxidative properties. However, the p-hydroxyphenyl conferred more activity when located at position 5 than at position 3. The presence of p-hydroxyphenyl groups at both positions 3 and 5 as in I produced a very active compound. Analogs lacking the free phenol groups showed reduced activities. Corresponding imidazolopyrazinones combined the properties of both the imidazolopyrazinones (delay of the onset of peroxidn.) and the aminopyrazines (lower rate of oxidation after onset).

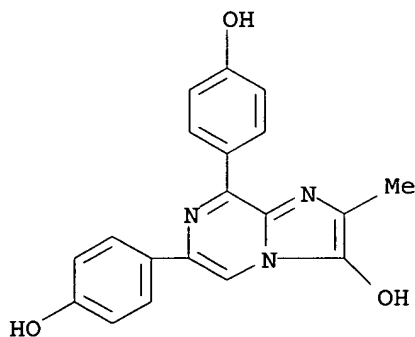
IT 374588-75-7P 374588-76-8P 374588-77-9P

374588-78-0P

RL: FFD (Food or feed use); MOA (Modifier or additive use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of aminopyrazines and imidazolopyrazinones as antioxidants)

RN 374588-75-7 CAPLUS

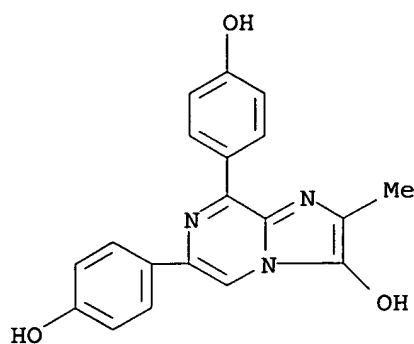
CN Imidazo[1,2-a]pyrazin-3-ol, 6,8-bis(4-hydroxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 374588-76-8 CAPLUS

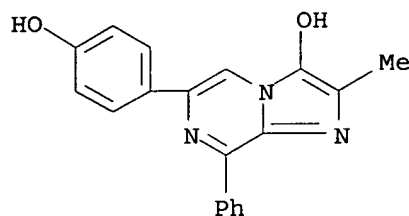
CN Imidazo[1,2-a]pyrazin-3-ol, 6,8-bis(4-hydroxyphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



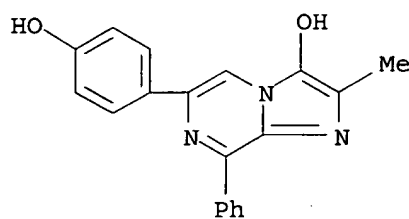


● HCl

RN 374588-77-9 CAPLUS  
 CN Imidazo[1,2-a]pyrazin-3-ol, 6-(4-hydroxyphenyl)-2-methyl-8-phenyl- (9CI)  
 (CA INDEX NAME)

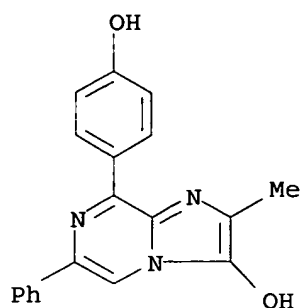


RN 374588-78-0 CAPLUS  
 CN Imidazo[1,2-a]pyrazin-3-ol, 6-(4-hydroxyphenyl)-2-methyl-8-phenyl-,  
 monohydrochloride (9CI) (CA INDEX NAME)



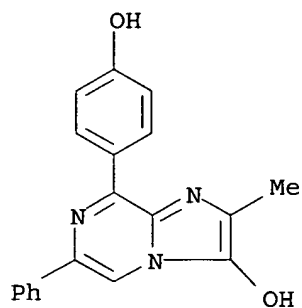
● HCl

IT 374588-79-1P 374588-80-4P 374588-85-9P  
 374588-86-0P 374588-87-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of aminopyrazines and imidazolopyrazinones as antioxidants)  
 RN 374588-79-1 CAPLUS  
 CN Imidazo[1,2-a]pyrazin-3-ol, 8-(4-hydroxyphenyl)-2-methyl-6-phenyl- (9CI)  
 (CA INDEX NAME)



RN 374588-80-4 CAPLUS

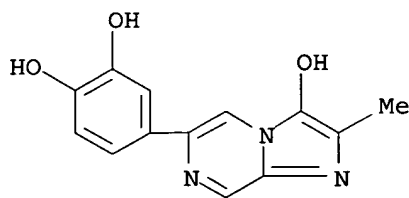
CN Imidazo[1,2-a]pyrazin-3-ol, 8-(4-hydroxyphenyl)-2-methyl-6-phenyl-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

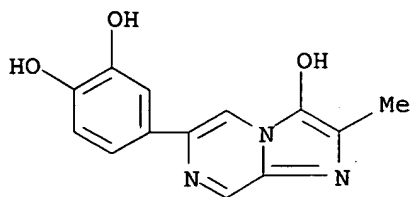
RN 374588-85-9 CAPLUS

CN 1,2-Benzenediol, 4-(3-hydroxy-2-methylimidazo[1,2-a]pyrazin-6-yl)- (9CI)  
(CA INDEX NAME)



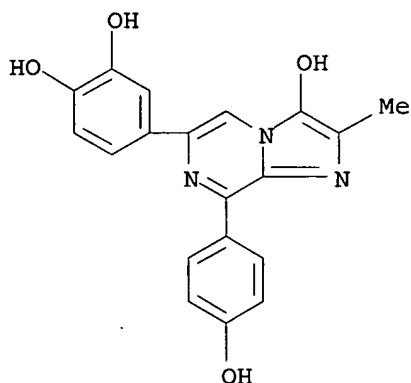
RN 374588-86-0 CAPLUS

CN 1,2-Benzenediol, 4-(3-hydroxy-2-methylimidazo[1,2-a]pyrazin-6-yl)-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 374588-87-1 CAPLUS  
 CN 1,2-Benzenediol, 4-[3-hydroxy-8-(4-hydroxyphenyl)-2-methylimidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)

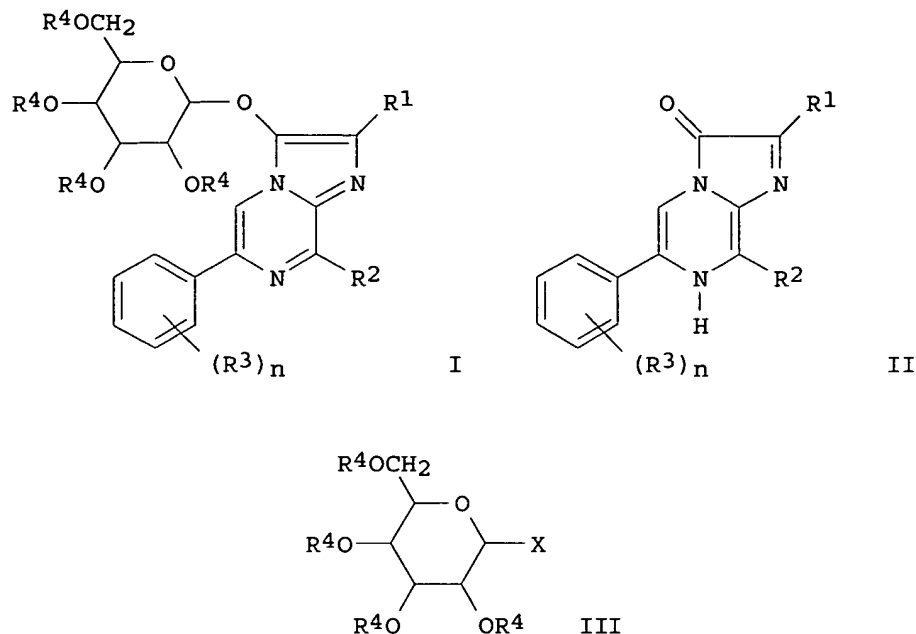


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN DUPLICATE 4  
 ACCESSION NUMBER: 1996:335963 CAPLUS  
 DOCUMENT NUMBER: 125:11354  
 TITLE: Preparation of luciferin derivatives of Umihotaru (Cypridina hilgendorffii)  
 INVENTOR(S): Mitani, Motohiro; Sakaki, Hidejiro; Koinuma, Yasuyoshi; Totani, Yoshiaki  
 PATENT ASSIGNEE(S): Nippon Oils & Fats Co Ltd, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

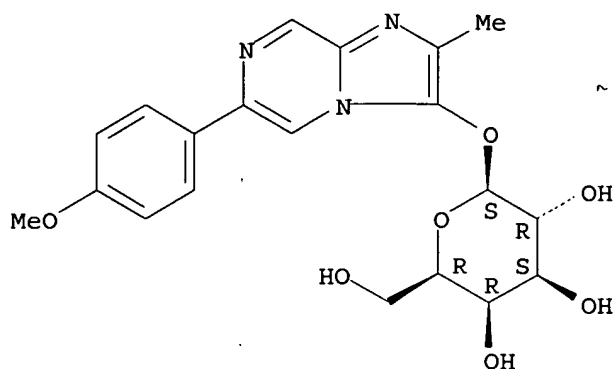
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08059686	A2	19960305	JP 1994-198770	19940823
PRIORITY APPLN. INFO.:			JP 1994-198770	19940823
OTHER SOURCE(S): CASREACT 125:11354; MARPAT 125:11354				
ED Entered STN: 08 Jun 1996				

GI



- AB The title compds. (I; R<sub>1</sub>, R<sub>2</sub> = H, C<sub>1</sub>-20 alkyl, C<sub>6</sub>-20 aryl, C<sub>7</sub>-19 arylalkyl; R<sub>3</sub> = C<sub>1</sub>-5 alkyl or alkoxy; n = 0-5), which are useful as substrates for luminescent determination of sugar hydrolases such as α-D-galactosidase, are prepared by reacting imidazopyrazinone derivs. (II; R<sub>1</sub> - R<sub>3</sub>, n = same as above) with sugar derivs. (III; X = halo; R<sub>4</sub> = C<sub>1</sub>-7 acyl) in the presence of silver triflate and Na<sub>2</sub>HPO<sub>4</sub>. followed by solvolysis in the presence of an alkali. Thus, 0.1 g 6-(4-methoxyphenyl)-2-methylimidazo[1,2-a]pyrazin-3-one and 1.1 g Na<sub>2</sub>HPO<sub>4</sub> were treated with 5 mL MeCN, 9 mL benzene, and 2.6 g mol. sieve 4A and stirred at room temperature for 1 h, treated with 0.18 g 2,3,4,6-tetra-O-acetyl-α-D-galactopyranosyl bromide and 0.37 g silver triflate, and stirred at room temperature for 2 h to give 39% 6-(4-methoxyphenyl)-2-methyl-3-(2,3,4,6-tetra-O-acetyl-α-D-galactopyranosyloxy)imidazo[1,2-a]pyrazine, which (0.5 g) was treated with 3.5 mL MeOH and 1.8 mL concentrated aqueous NH<sub>3</sub> and stirred at 40° for 6 h 30 min to give 78% 6-(4-methoxyphenyl)-2-methyl-3-(α-D-galactopyranosyloxy)imidazo[1,2-a]pyrazine (IV). IV showed luminescence in the presence of β-D-galactosidase with correlation factor r = 0.992.
- IT 159503-66-9P 177205-12-8P  
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)  
(preparation of luciferin derivs. of *Cypridina hilgendorffii* as substrates for luminescent determination of sugar hydrolases)
- RN 159503-66-9 CAPLUS
- CN β-D-Galactopyranoside, 6-(4-methoxyphenyl)-2-methylimidazo[1,2-a]pyrazin-3-yl (9CI) (CA INDEX NAME)

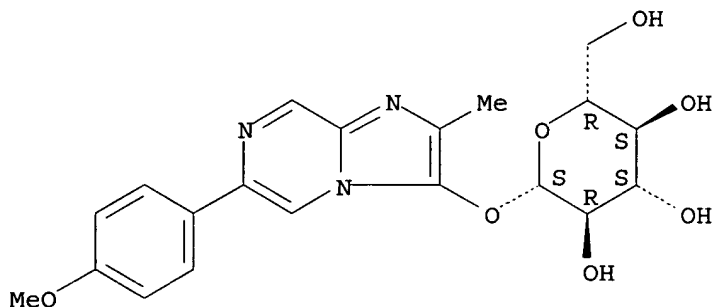
Absolute stereochemistry.



RN 177205-12-8 CAPLUS

CN β-D-Glucopyranoside, 6-(4-methoxyphenyl)-2-methylimidazo[1,2-a]pyrazin-3-yl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 177205-13-9P

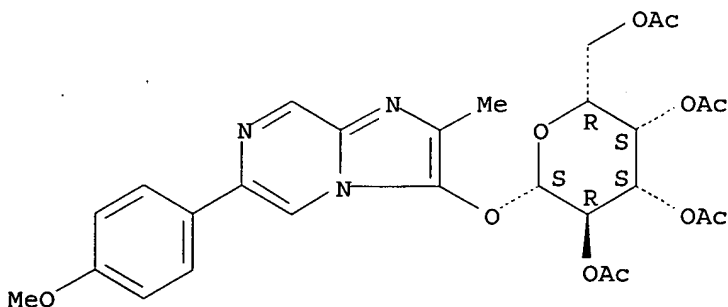
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of luciferin derivs. of Cypridina hilgendorffii as substrates for luminescent determination of sugar hydrolases)

RN 177205-13-9 CAPLUS

CN β-D-Galactopyranoside, 6-(4-methoxyphenyl)-2-methylimidazo[1,2-a]pyrazin-3-yl, 2,3,4,6-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:40051 CAPLUS

DOCUMENT NUMBER: 140:429758

TITLE: Metal-ion complexation of imidazo[1,2-a]pyrazin-3(7H)-ones: continuous changes in absorption spectra of complexes depending on the Lewis acidity of the metal ion

AUTHOR(S): Sekiguchi, Takashi; Maki, Shojiro; Niwa, Haruki; Ikeda, Hiroshi; Hirano, Takashi

CORPORATE SOURCE: Department of Applied Physics and Chemistry, The University of Electro-Communications, Chofu, Tokyo, 182-8585, Japan

SOURCE: Tetrahedron Letters (2004), 45(5), 1065-1069

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 16 Jan 2004

AB The spectroscopic properties of metal-ion complexes of several imidazopyrazinone derivs. with Li<sup>+</sup>, Mg<sup>2+</sup>, Ca<sup>2+</sup>, Ba<sup>2+</sup>, Sc<sup>3+</sup>, and La<sup>3+</sup> ions were studied. The spectral characteristics and the formation consts. of the complexes changed continuously depending on the Lewis acidity of the metal ion, suggesting that the imidazopyrazinones can find application as indicators of Lewis acidity. In the case of bis-imidazopyrazinone derivs., the complexation abilities were enhanced by chelate effects.

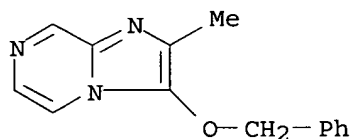
IT 693252-73-2P 693252-74-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(formation of metal-ion complexes with imidazopyrazinones and dependence of their absorption spectra on metal-ion Lewis acidity)

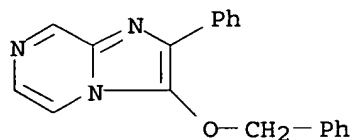
RN 693252-73-2 CAPLUS

CN Imidazo[1,2-a]pyrazine, 2-methyl-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 693252-74-3 CAPLUS

CN Imidazo[1,2-a]pyrazine, 2-phenyl-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:376823 CAPLUS

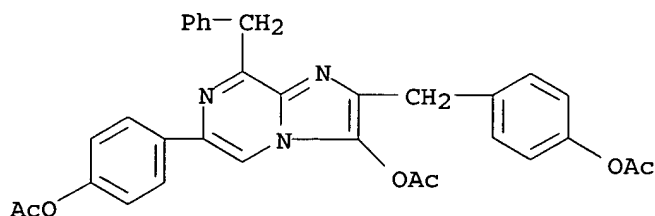
DOCUMENT NUMBER: 138:365147

TITLE: Compositions, methods and kits pertaining to luminescent compounds

INVENTOR(S): Wood, Keith; Hawkins, Erika; Scurria, Mike; Klaubert, Dieter  
 PATENT ASSIGNEE(S): Promega Corporation, USA  
 SOURCE: PCT Int. Appl., 60 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

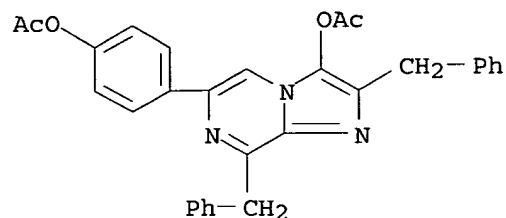
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040100	A1	20030515	WO 2002-US34972	20021101
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003153090	A1	20030814	US 2001-53482	20011102
EP 1451155	A1	20040901	EP 2002-802815	20021101
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
PRIORITY APPLN. INFO.:			US 2001-53482	A 20011102
			WO 2002-US34972	W 20021101

OTHER SOURCE(S): MARPAT 138:365147  
 ED Entered STN: 16 May 2003  
 AB A method of measuring the enzymic activity of a luciferase includes contacting a luminogenic protein, such as a luciferase, with a protected luminophore to form a composition; and detecting light produced from the composition  
 The protected luminophore provides increased stability and improved signal-to-background ratios relative to the corresponding unmodified coelenterazine.  
 IT 65417-16-5P 524066-91-9P 524066-92-0P  
 524066-93-1P 524066-94-2P 524066-95-3P  
 524066-96-4P  
 RL: ARU (Analytical role, unclassified); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation)  
 (comps., methods and kits pertaining to luminescent comps.)  
 RN 65417-16-5 CAPLUS  
 CN Imidazo[1,2-a]pyrazin-3-ol, 6-[4-(acetyloxy)phenyl]-2-[[4-(acetyloxy)phenyl]methyl]-8-(phenylmethyl)-, acetate (ester) (9CI) (CA INDEX NAME)



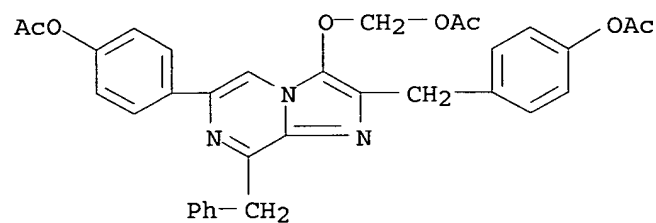
RN 524066-91-9 CAPLUS

CN Imidazo[1,2-a]pyrazin-3-ol, 6-[4-(acetyloxy)phenyl]-2,8-bis(phenylmethyl)-, acetate (ester) (9CI) (CA INDEX NAME)



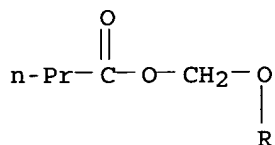
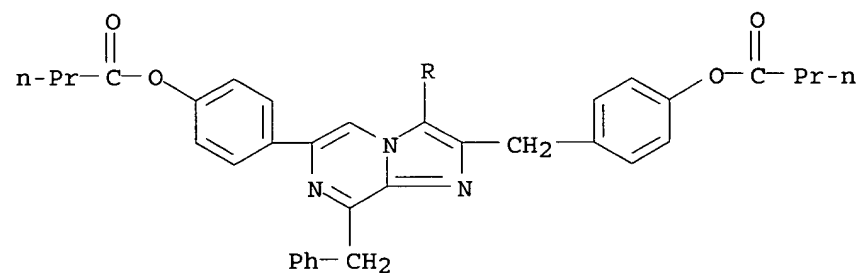
RN 524066-92-0 CAPLUS

CN Phenol, 4-[3-[(acetyloxy)methoxy]-2-[[4-(acetyloxy)phenyl]methyl]-8-(phenylmethyl)imidazo[1,2-a]pyrazin-6-yl]-, acetate (ester) (9CI) (CA INDEX NAME)



RN 524066-93-1 CAPLUS

CN Butanoic acid, 4-[3-[(1-oxobutoxy)methoxy]-2-[[4-(1-oxobutoxy)phenyl]methyl]-8-(phenylmethyl)imidazo[1,2-a]pyrazin-6-yl]phenyl ester (9CI) (CA INDEX NAME)

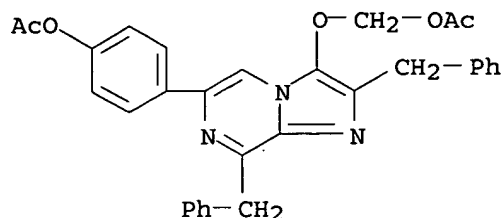


RN 524066-94-2 CAPLUS

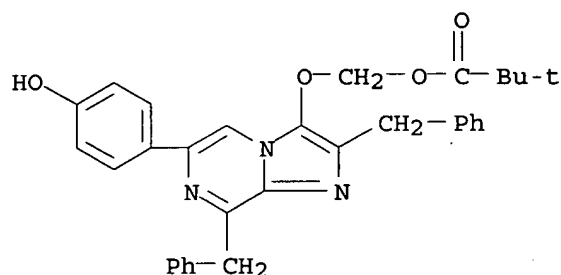
CN Phenol, 4-[3-[(acetyloxy)methoxy]-2,8-bis(phenylmethyl)imidazo[1,2-



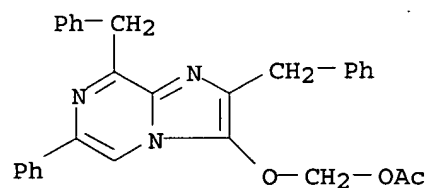
alpyrazin-6-yl]-, acetate (ester) (9CI) (CA INDEX NAME)



RN 524066-95-3 CAPLUS  
 CN Propanoic acid, 2,2-dimethyl-, [[6-(4-hydroxyphenyl)-2,8-bis(phenylmethyl)imidazo[1,2-a]pyrazin-3-yl]oxy]methyl ester (9CI) (CA INDEX NAME)



RN 524066-96-4 CAPLUS  
 CN Methanol, [[6-phenyl-2,8-bis(phenylmethyl)imidazo[1,2-a]pyrazin-3-yl]oxy]-, acetate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:1005621 CAPLUS

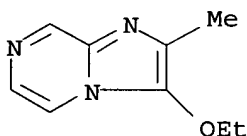
DOCUMENT NUMBER: 140:181114

TITLE: Fundamental studies on the structures and spectroscopic properties of imidazo[1,2-a]pyrazin-3(7H)-one derivatives

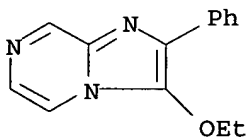
AUTHOR(S): Nakai, Shunichiro; Yasui, Masanori; Nakazato, Masaki; Iwasaki, Fujiko; Maki, Shojiro; Niwa, Haruki; Ohashi, Mamoru; Hirano, Takashi

CORPORATE SOURCE: Department of Applied Physics and Chemistry, The University of Electro-Communications, Tokyo, 182-8585, Japan

SOURCE: Bulletin of the Chemical Society of Japan (2003),  
76(12), 2361-2387  
CODEN: BCSJA8; ISSN: 0009-2673  
PUBLISHER: Chemical Society of Japan  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
ED Entered STN: 26 Dec 2003  
AB The fundamental phys. properties of 2-Me and 2-phenylimidazo[1,2-a]pyrazin-3(7H)-one, and their N- and O-alkylated derivs. were studied by x-ray crystallog., UV/visible absorption spectroscopy, NMR, and AM1-COSMO calcns. The crystal structures showed that the imidazo[1,2-a]pyrazin-3(7H)-one (imidazopyrazinone)  $\pi$ -system has a planar ring structure and a weakened carbonyl character of the C3-O10 bond, suggesting that the imidazopyrazinone  $\pi$ -system has the character of a zwitter-ionic resonance structure to increase the aromaticity. The data concerning the bond length alternations and the NMR chemical shifts of 1-4 also support that their imidazopyrazinone rings have small portions of aromatic character. Imidazopyrazinone derivs. 1-4 showed solvatochromism originating by H-bonding interactions with H-bond donor solvent mols.; derivs. 1 and 2 prefer to be the NH form isomers in their tautomeric equilibrium. These observations were consistently evaluated by MO calcns. The phys. properties of protonated species of 1-6 and anion species of 1 and 2 were also established. The fundamental properties of the imidazopyrazinone  $\pi$ -system explain the several problems of the chemi- and bioluminescence reactivities of imidazopyrazinone derivs. and of the construction of a bioluminescent supramol.  
IT 659726-97-3P 659726-99-5P  
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)  
(fundamental studies on structures and spectral properties of imidazo[1,2-a]pyrazin-3(7H)-one derivs.)  
RN 659726-97-3 CAPLUS  
CN Imidazo[1,2-a]pyrazine, 3-ethoxy-2-methyl- (9CI) (CA INDEX NAME)



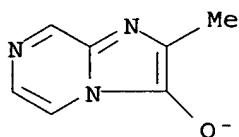
RN 659726-99-5 CAPLUS  
CN Imidazo[1,2-a]pyrazine, 3-ethoxy-2-phenyl- (9CI) (CA INDEX NAME)



IT 659727-06-7 659727-07-8 659727-12-5  
659727-13-6  
RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)  
(fundamental studies on structures and spectral properties of imidazo[1,2-a]pyrazin-3(7H)-one derivs.)

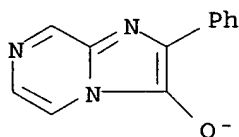
RN 659727-06-7 CAPLUS

CN Imidazo[1,2-a]pyrazin-3-ol, 2-methyl-, ion(1-) (9CI) (CA INDEX NAME)



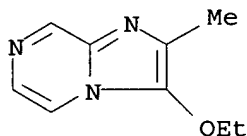
RN 659727-07-8 CAPLUS

CN Imidazo[1,2-a]pyrazin-3-ol, 2-phenyl-, ion(1-) (9CI) (CA INDEX NAME)



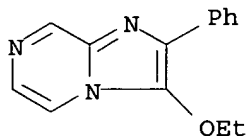
RN 659727-12-5 CAPLUS

CN Imidazo[1,2-a]pyrazine, 3-ethoxy-2-methyl-, conjugate monoacid (9CI) (CA INDEX NAME)

● H<sup>+</sup>

RN 659727-13-6 CAPLUS

CN Imidazo[1,2-a]pyrazine, 3-ethoxy-2-phenyl-, conjugate monoacid (9CI) (CA INDEX NAME)

● H<sup>+</sup>

REFERENCE COUNT:

82

THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:108790 CAPLUS

DOCUMENT NUMBER: 139:129758

Searched by Barb O'Bryen, STIC 2-2518

TITLE: Coelenterazine derivatives for improved solution solubility

AUTHOR(S): Hawkins, Erika M.; O'Grady, Michael; Klaubert, Dieter; Scurria, Michael; Good, Troy; Stratford, Cathy; Flemming, Rod; Simpson, Dan; Wood, Keith V.

CORPORATE SOURCE: Promega Corporation, Madison, WI, 53715, USA

SOURCE: Bioluminescence & Chemiluminescence: Progress & Current Applications, [Proceedings of the Symposium on Bioluminescence and Chemiluminescence], 12th, Cambridge, United Kingdom, Apr. 5-9, 2002 (2002), 149-152. Editor(s): Stanley, Philip E.; Kricka, Larry J. World Scientific Publishing Co. Pte. Ltd.: Singapore, Singapore.

CODEN: 69DPGZ; ISBN: 981-238-156-2

DOCUMENT TYPE: Conference

LANGUAGE: English

ED Entered STN: 12 Feb 2003

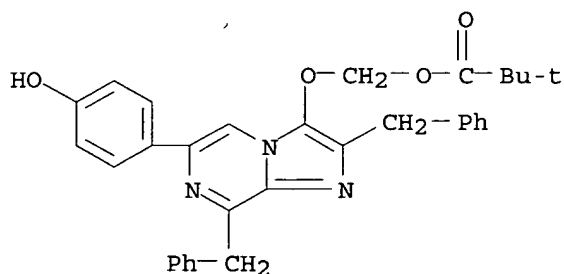
AB Intracellular luminescent techniques requiring coelenterazine, such as bioluminescence resonance energy transfer (BRET), calcium detection, and intracellular reporter measurements, must accommodate the poor stability of this substrate in physiolo. buffered solns. Coelenterazine degradation leads both to loss of luminescence over time, and increased background luminescence caused by enzyme-independent oxidation (autoluminescence). Both conditions limit luminescence sensitivity by reducing the signal-to-noise ratio. Coelenterazine can be stabilized by derivatizing the enol oxygen with an acyl oxymethyl ether. This prevents spontaneous oxidation in solution while making the substrate available intracellularly upon cleavage of the blocking group by endogenous esterases. We will describe the stability of pivaloyl oxymethyl coelenterazine-h (POM coelenterazine-h), and the effect of POM coelenterazine-h on intracellular luminescence, autoluminescence, and luminescent reaction kinetics. Also, we will present the characteristics of two other coelenterazine derivs.

IT 524066-95-3D, diacetyl derivative 566945-96-8

RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses) (coelenterazine derivs. for improved solution solubility)

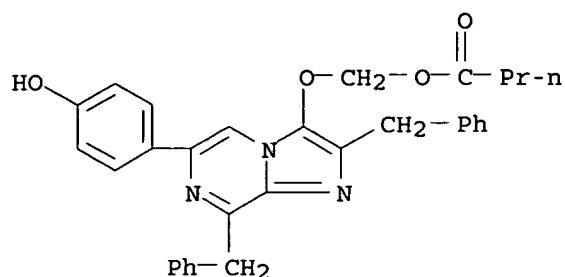
RN 524066-95-3 CAPLUS

CN Propanoic acid, 2,2-dimethyl-, [[6-(4-hydroxyphenyl)-2,8-bis(phenylmethyl)imidazo[1,2-a]pyrazin-3-yl]oxy]methyl ester (9CI) (CA INDEX NAME)



RN 566945-96-8 CAPLUS

CN Butanoic acid, [[6-(4-hydroxyphenyl)-2,8-bis(phenylmethyl)imidazo[1,2-a]pyrazin-3-yl]oxy]methyl ester (9CI) (CA INDEX NAME)



L14 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:725609 CAPLUS

DOCUMENT NUMBER: 130:104779

TITLE: Imidazo[1,2-b]pyridazines: syntheses and interaction with central and peripheral-type (mitochondrial) benzodiazepine receptors

AUTHOR(S): Barlin, Gordon B.

CORPORATE SOURCE: Division of Neuroscience, John Curtin School of Medical Research, Australian National University, Canberra, ACT 2601, Australia

SOURCE: Journal of Heterocyclic Chemistry (1998), 35(5), 1205-1217

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 17 Nov 1998

AB The fundamental chemical of pyridazines, the syntheses of substituted imidazo[1,2-b]pyridazines (1) (and some related compds.) and the interaction of the products with central benzodiazepine receptors (CBR) and peripheral-type (mitochondrial) benzodiazepine receptors (PBR) are described. Some of these imidazo[1,2-b]pyridazines had high selective affinity for the central benzodiazepine receptors and others had high selectivity for the peripheral-type (mitochondrial) benzodiazepine receptors. The results of structure-activity studies and mol. modeling will be reported. In vivo tests of some compds. which interacted strongly with the central benzodiazepine receptors revealed reasonably potent anticonvulsant/anticonflict activity, and some of those which bind selectively to the peripheral-type (mitochondrial) benzodiazepine receptors are being examined as possible radiopharmaceuticals for imaging of tumors (and other disease states).

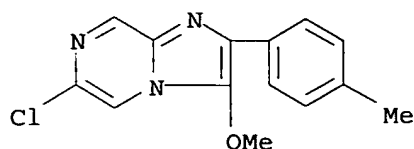
IT 142074-27-9

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(interaction with central and peripheral-type (mitochondrial) benzodiazepine receptors of imidazo[b]pyridazines in relation to anticonvulsant/anticonflict activity and activity as radiopharmaceuticals)

RN 142074-27-9 CAPLUS

CN Imidazo[1,2-a]pyrazine, 6-chloro-3-methoxy-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1997:48722 CAPLUS  
 DOCUMENT NUMBER: 126:72331  
 TITLE: Chemiluminescent substrate for enzyme immunoassay  
 INVENTOR(S): Sakaki, Hidejiro; Mitani, Motohiro; Koinuma, Yasuyoshi; Totani, Yoshiaki  
 PATENT ASSIGNEE(S): Nippon Oils & Fats Co Ltd, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08294397	A2	19961112	JP 1995-125617	19950427
PRIORITY APPLN. INFO.:			JP 1995-125617	19950427
OTHER SOURCE(S): MARPAT 126:72331				

ED Entered STN: 23 Jan 1997

AB Chemiluminescent substrate for sugar-hydrolyzing enzyme is prepared for EIA. 3-( $\beta$ -D-galactopyranosyloxy)-6-(4-methoxyphenyl)-2-methylimidazole[1,2-a]pyrazine was prepared from 6-(4-methoxyphenyl)-2-methyl-3-(tetra-O-acetyl- $\beta$ -D-galactopyranosyloxy)imidazole[1,2-a]pyrazine, and used for chemiluminescent EIA.

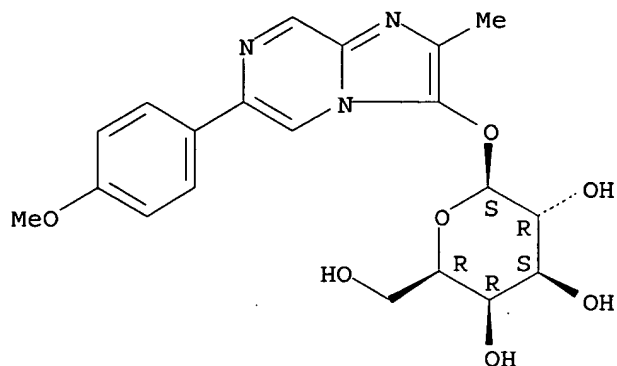
IT 159503-66-9P

RL: ARU (Analytical role, unclassified); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation)  
 (chemiluminescent substrate for EIA using carbohydrate-hydrolyzing enzyme)

RN 159503-66-9 CAPLUS

CN  $\beta$ -D-Galactopyranoside, 6-(4-methoxyphenyl)-2-methylimidazo[1,2-a]pyrazin-3-yl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



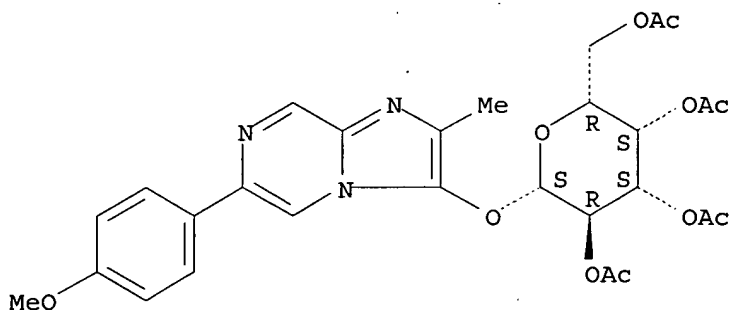
IT 177205-13-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(chemiluminescent substrate for EIA using carbohydrate-hydrolyzing enzyme)

RN 177205-13-9 CAPLUS

CN  $\beta$ -D-Galactopyranoside, 6-(4-methoxyphenyl)-2-methylimidazo[1,2-b]pyridazin-3-yl, 2,3,4,6-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:397698 CAPLUS

DOCUMENT NUMBER: 125:184868

TITLE: Ligands for the central benzodiazepine receptor:  
structure-affinity relationship studies on  
imidazo[1,2-b]pyridazines

AUTHOR(S): Matyus, Peter; Barlin, Gordon B.; Harrison, Peter W.;  
Wong, Margaret G.; Davies, Les P.

CORPORATE SOURCE: Div. Neuroscience, Australian National Univ.,  
Canberra, 2601, Australia

SOURCE: Australian Journal of Chemistry (1996), 49(4), 435-442  
CODEN: AJCHAS; ISSN: 0004-9425

PUBLISHER: Commonwealth Scientific and Industrial Research  
Organization

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 11 Jul 1996

AB Seventy-six imidazo[1,2-b]pyridazines and some bicyclic isomers have been  
analyzed and compared in terms of geometric and electronic requirements

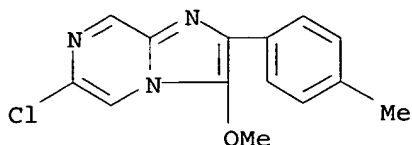
for binding to central benzodiazepine receptors. The binding sites identified for these compds. by mol. modeling are consistent with known benzodiazepine receptor-ligand interaction models. However, for the most active compds., addnl. binding sites are proposed.

IT 142074-27-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(structure-affinity relationship of imidazopyridazines as ligands for the central benzodiazepine receptor)

RN 142074-27-9 CAPLUS

CN Imidazo[1,2-a]pyrazine, 6-chloro-3-methoxy-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L14 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:992122 CAPLUS

DOCUMENT NUMBER: 124:80192

TITLE: Enhancement effect of 2,6-O-dimethyl- $\beta$ -cyclodextrin on the chemiluminescent detection of  $\beta$ -D-galactosidase using a Cypridina luciferin analog

AUTHOR(S): Mitani, Motohiro; Sakaki, Syujiro; Koinuma, Yasumi; Toya, Yoshiaki; Kosugi, Masanori

CORPORATE SOURCE: Tsukuba Res. Lab., NOF Corp., Tsukuba, 300-26, Japan

SOURCE: Analytical Sciences (1995), 11(6), 1013-15

CODEN: ANSCEN; ISSN: 0910-6340

PUBLISHER: Japan Society for Analytical Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 20 Dec 1995

AB  $\beta$ -Cyclodextrins enhanced the chemiluminescent detection of  $\beta$ -galactosidase using the Cypridina luciferin analog 3-( $\beta$ -D-galactopyranosyloxy)-6-(4-methoxyphenyl)-2-methylimidazo[1,2-a]pyrazine ( $\beta$ -Gal-MCLA) in the order 2,6-O-dimethyl- $\beta$ -cyclodextrin > 2,3,6-O-trimethyl- $\beta$ -cyclodextrin >  $\beta$ -cyclodextrin. Detection of mouse IgG by chemiluminescent enzyme immunoassay (CLEIA) using  $\beta$ -Gal-MCLA and  $\beta$ -galactosidase to amplify the signal was also enhanced by inclusion of 2,6-O-trimethyl- $\beta$ -cyclodextrin.

IT 159503-66-9

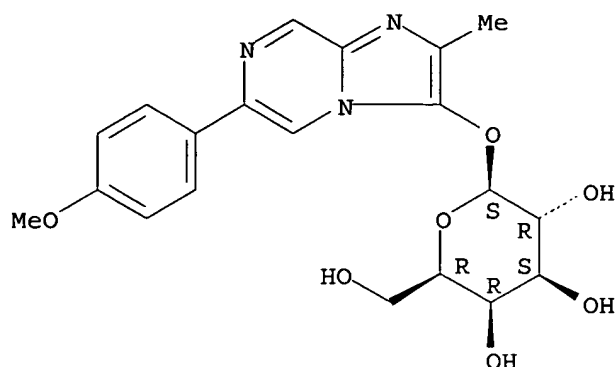
RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)  
(enhancement effect of 2,6-O-dimethyl- $\beta$ -cyclodextrin on the chemiluminescent detection of  $\beta$ -D-galactosidase using a Cypridina luciferin analog)

RN 159503-66-9 CAPLUS

CN  $\beta$ -D-Galactopyranoside, 6-(4-methoxyphenyl)-2-methylimidazo[1,2-a]pyrazin-3-yl (9CI) (CA INDEX NAME)

Absolute stereochemistry.





L14 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:126975 CAPLUS

DOCUMENT NUMBER: 122:4783

TITLE: Chemiluminescent assay of  $\beta$ -D-galactosidase using Cypridina luciferin analog: 3-( $\beta$ -D-galactopyranosyloxy)-6-(4-methoxyphenyl)-2-methylimidazo[1,2-a]pyrazine

AUTHOR(S): Mitani, Motohiro; Sakaki, Syujiro; Koinuma, Yasumi; Toya, Yoshiaki; Kosugi, Masanori

CORPORATE SOURCE: Tsukuba Res. Lab., NOF Corp., Ibaraki, 300-26, Japan

SOURCE: Analytical Sciences (1994), 10(5), 813-14

CODEN: ANSCEN; ISSN: 0910-6340

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 08 Nov 1994

AB We prepared a new Cypridina luciferin analog, 3-( $\beta$ -D-galactopyranosyloxy)-6-(4-methoxyphenyl)-2-methylimidazo[1,2-a]-pyrazine ( $\beta$ -Gal-MCLA) which can enzymically remove galactose to produce 2-methyl-6-(4-methoxyphenyl)-3,7-dihydroimidazo[1,2-a]pyrazine-3(7H)-one (MCLA), its autoxidn. follows, providing the chemiluminescence.  $\beta$ -Gal-MCLA was thus a useful chemiluminescent substrate for  $\beta$ -D-galactosidase determination

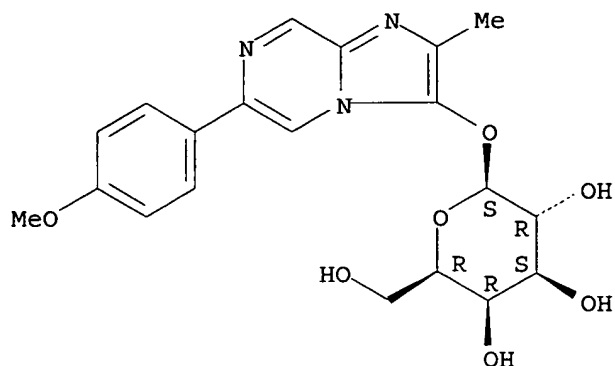
IT 159503-66-9

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses) (chemiluminescent assay of  $\beta$ -D-galactosidase using Cypridina luciferin analog: 3-( $\beta$ -D-galactopyranosyloxy)-6-(4-methoxyphenyl)-2-methylimidazo[1,2-a]pyrazine)

RN 159503-66-9 CAPLUS

CN  $\beta$ -D-Galactopyranoside, 6-(4-methoxyphenyl)-2-methylimidazo[1,2-a]pyrazin-3-yl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:448498 CAPLUS

DOCUMENT NUMBER: 117:48498

TITLE: Imidazo[1,2-b]pyridazines. XII. Syntheses and central nervous system activities of some substituted imidazo[1,2-b]pyridazines and related imidazo[1,2-a]pyridines, imidazo[1,2-a]pyrimidines and imidazo[1,2-a]pyrazines

AUTHOR(S): Barlin, Gordon B.; Davies, Les P.; Ireland, Stephen J.; Ngu, Maria M. L.; Zhang, Jiankuo

CORPORATE SOURCE: John Curtin Sch. Med. Res., Aust. Natl. Univ., Canberra, 2601, Australia

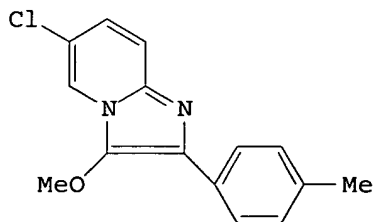
SOURCE: Australian Journal of Chemistry (1992), 45(5), 877-88  
CODEN: AJCHAS; ISSN: 0004-9425

DOCUMENT TYPE: Journal

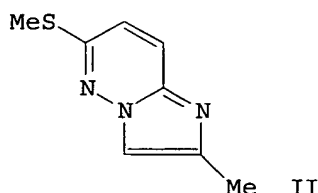
LANGUAGE: English

ED Entered STN: 08 Aug 1992

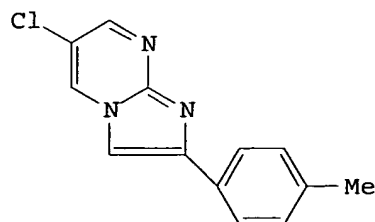
GI



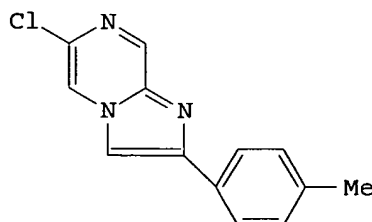
I



II



III



IV

AB Syntheses are reported for some 6-chloro(alkoxy, alkylthio and phenylthio)-3-benzamidomethyl(acetamidomethyl and methoxy)-2-arylimidazo[1,2-a]pyridines, e.g. I, and some corresponding

imidazo[1,2-b]pyridazines, e.g. II, imidazo[1,2-a]pyrimidines, e.g. III, and imidazo[1,2-a]pyrazines, e.g. IV. Thus, 5-chloropyridin-2-amine was treated with p-tolylglyoxal to give I. IC<sub>50</sub> values (or percentage displacement) are reported and discussed for the displacement of [3H]diazepam from rat brain membrane by each of these compds. The imidazo[1,2-a]pyridines were generally slightly less potent than the imidazo[1,2-b]pyridazines but considerably more potent than the corresponding imidazo[1,2-a]pyrimidines or imidazo[1,2-a]pyrazines. Substitution of a 2-aryl group by a 2-alkyl group in imidazo[1,2-b]pyridazines led to significant loss of activity.

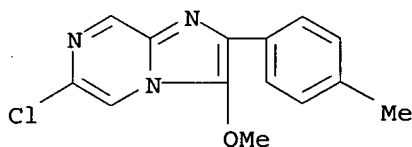
IT 142074-27-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and central nervous system activity of)

RN 142074-27-9 CAPLUS

CN Imidazo[1,2-a]pyrazine, 6-chloro-3-methoxy-2-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L14 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:153383 CAPLUS

DOCUMENT NUMBER: 106:153383

TITLE: Chemical studies of myctophina fish bioluminescence

AUTHOR(S): Inoue, Shoji; Okada, Kunisuke; Tanino, Hideo; Kakoi, Hisae

CORPORATE SOURCE: Fac. Pharm., Meijo Univ., Nagoya, 468, Japan

SOURCE: Chemistry Letters (1987), (2), 417-18

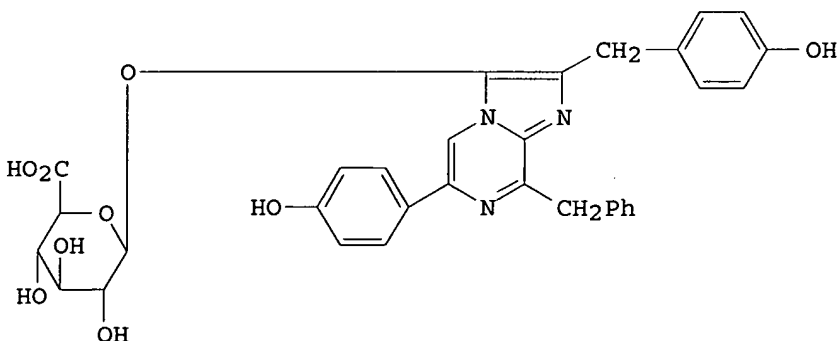
CODEN: CMLTAG; ISSN: 0366-7022

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 15 May 1987

GI



I

AB A new type of masked watasenia preluciferin was isolated from the liver of a myctophina fish (*Diaphus elucens*) and its structure was determined as watasenia preluciferyl  $\beta$ -D-glucopyranosiduronic acid (I).

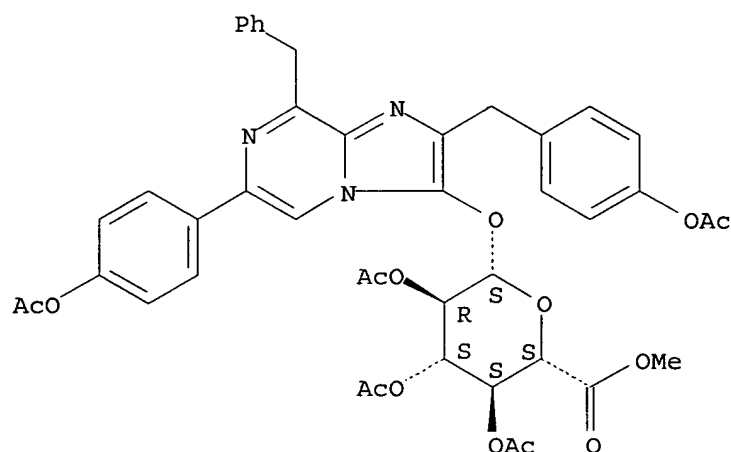
IT 107503-11-7

RL: RCT (Reactant); RACT (Reactant or reagent)  
(deacetylation of)

RN 107503-11-7 CAPLUS

CN  $\beta$ -D-Glucopyranosiduronic acid, 6-[4-(acetyloxy)phenyl]-2-[[4-(acetyloxy)phenyl)methyl]-8-(phenylmethyl)imidazo[1,2-a]pyrazin-3-yl (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



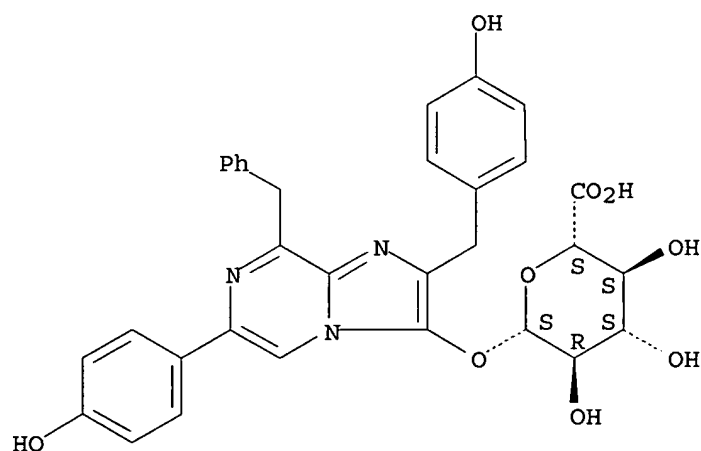
IT 107503-09-3

RL: BIOL (Biological study)  
(of liver, of myctophina fish)

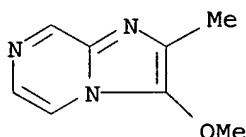
RN 107503-09-3 CAPLUS

CN  $\beta$ -D-Glucopyranosiduronic acid, 6-(4-hydroxyphenyl)-2-[[4-hydroxyphenyl)methyl]-8-(phenylmethyl)imidazo[1,2-a]pyrazin-3-yl (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1985:55384 CAPLUS  
DOCUMENT NUMBER: 102:55384  
TITLE: Carbon-13 nuclear magnetic resonance spectra in the identification of N-, O- or S-methyl derivatives of some tautomeric hydroxy and mercapto nitrogen heterocycles  
AUTHOR(S): Barlin, Gordon B.; Brown, Desmond J.; Fenn, M. David  
CORPORATE SOURCE: John Curtin Sch. Med. Res., Aust. Natl. Univ., Canberra, 2601, Australia  
SOURCE: Australian Journal of Chemistry (1984), 37(11), 2391-5  
CODEN: AJCHAS; ISSN: 0004-9425  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
ED Entered STN: 09 Feb 1985  
AB Carbon-13 NMR spectroscopy, in contrast to 1H NMR spectroscopy, has been shown to provide a clear distinction in a variety of N heterocyclic systems between O-Me and nuclear N-Me groups. MeO groups occur in the range  $\delta$  53.20-61.87, nuclear N-Me groups at 34.29-49.62, and MeS groups at 12.35-14.55 for the compds. examined in CDCl<sub>3</sub>. Data for N- and O-Me derivs. of pyridin-2 and -4-ol, the corresponding pyrimidines, and some S analogs were compared with those for the unmethylated parent compds.  
IT 87814-38-8  
RL: ANST (Analytical study)  
(identification of, carbon-13 NMR spectrometric)  
RN 87814-38-8 CAPLUS  
CN Imidazo[1,2-a]pyrazine, 3-methoxy-2-methyl- (9CI) (CA INDEX NAME)



L14 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1984:468065 CAPLUS  
DOCUMENT NUMBER: 101:68065  
TITLE: Mechanism of photoinactivation and re-activation in the bioluminescence system of the ctenophore Mnemiopsis  
AUTHOR(S): Anctil, Michel; Shimomura, Osamu  
CORPORATE SOURCE: Mar. Biol. Lab., Woods Hole, MA, 02543, USA  
SOURCE: Biochemical Journal (1984), 221(1), 269-72  
CODEN: BIJOAK; ISSN: 0306-3275  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
ED Entered STN: 01 Sep 1984  
AB The bioluminescence of *M. leidyi* takes place when the photoprotein mnemiopsin in the photocytes reacts with Ca<sup>2+</sup>. The luminescence is inhibited in sunlight and this photoinhibition is reversible by keeping the live specimens in the dark. Exts. of mnemiopsin are similarly photoinhibited, but the photoinhibition cannot be reversed in the dark. Photoinhibited mnemiopsin can be reactivated in the dark by incubation with coelenterazine and O<sub>2</sub> only in solns. having a pH very close to 9.0. The reactivation in vivo probably takes place in the same manner, using the coelenterazine that is supplied from its abundant storage form.

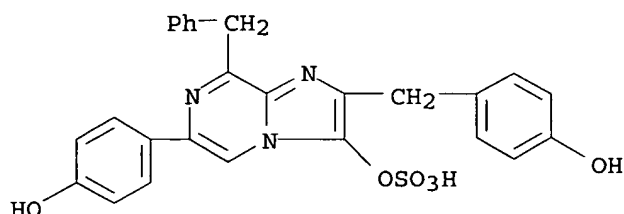
Apparently, photoinactivation of mnemiopsin results in the dissociation of coelenterazine and O from the mol. of photoprotein; the dissociated form of the former mol. is an inactive form of coelenterazine, not free coelenterazine.

IT 65417-14-3

RL: BIOL (Biological study)  
(of ctenophore)

RN 65417-14-3 CAPLUS

CN Imidazo[1,2-a]pyrazin-3-ol, 6-(4-hydroxyphenyl)-2-[(4-hydroxyphenyl)methyl]-8-(phenylmethyl)-, 3-(hydrogen sulfate), monosodium salt (9CI) (CA INDEX NAME)



● Na

L14 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1983:594926 CAPLUS

DOCUMENT NUMBER: 99:194926

TITLE: Imidazo[1,2-b]pyridazines and an imidazo[1,2-a]pyrazine from pyridazin- and pyrazinamines

AUTHOR(S): Barlin, Gordon B.; Brown, Desmond J.; Kadunc, Zdenka; Petric, Andrej; Stanovnik, Branka; Tisler, Miha

CORPORATE SOURCE: John Curtin Sch. Med. Res., Canberra, 2601, Australia

SOURCE: Australian Journal of Chemistry (1983), 36(6), 1215-20

CODEN: AJCHAS; ISSN: 0004-9425

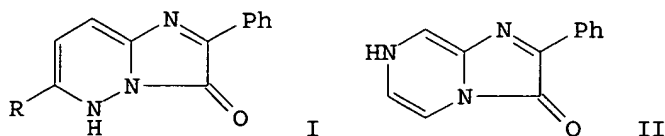
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 99:194926

ED Entered STN: 12 May 1984

GI



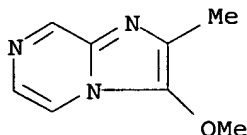
AB The ambiguous condensations of PhCOCHO with pyridazin-3-amines and pyrazin-2-amine give imidazopyridazinones I (R = H, Cl) and imidazopyrazinone II; resp. The former products exist as such, at least in the solid state, whereas the latter product exists to a large extent as the corresponding dipolar mol. The reactions, degrdns., and NMR spectra of the products are discussed.

IT 87814-38-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 87814-38-8 CAPLUS

CN Imidazo[1,2-a]pyrazine, 3-methoxy-2-methyl- (9CI) (CA INDEX NAME)



L14 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1980:107639 CAPLUS

DOCUMENT NUMBER: 92:107639

TITLE: Comparison of the amounts of key components in the bioluminescence systems of various coelenterates

AUTHOR(S): Shimomura, Osamu; Johnson, Frank H.

CORPORATE SOURCE: Dep. Biol., Princeton Univ., Princeton, NJ, 08540, USA

SOURCE: Comparative Biochemistry and Physiology, Part B: Biochemistry & Molecular Biology (1979), 64B(1), 105-7  
CODEN: CBPBB8; ISSN: 0305-0491

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

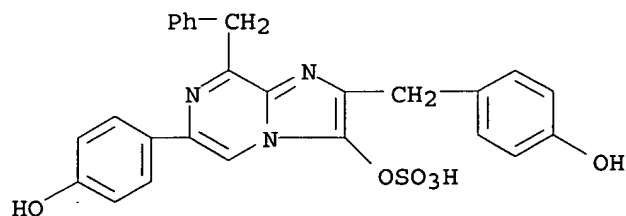
AB Luciferase, photoprotein, free and protein-bound coelenterazine (I) and I enol-sulfate were assayed and compared in 5 bioluminescent coelenterates. Hydrozoans Aequorea aequorea and Halistaura cellularia contained photoprotein plus very small amts. of I enol-sulfate and luciferase activity, but no free I. Anthozoans Ptilosarcus gurneyi, Cavernularia obesa, and Renilla muelleri contained luciferase, I, and I enol-sulfate, but very little or no photoprotein. I existed mainly in a stabilized form bound to a Ca-binding protein. The bioluminescent reactions in the coelenterates were compared.

IT 55779-47-0

RL: BIOL (Biological study)  
(of coelenterates, bioluminescence in relation to)

RN 55779-47-0 CAPLUS

CN Imidazo[1,2-a]pyrazin-3-ol, 6-(4-hydroxyphenyl)-2-[(4-hydroxyphenyl)methyl]-8-(phenylmethyl)-, 3-(hydrogen sulfate) (9CI) (CA INDEX NAME)



L14 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1979:519858 CAPLUS

DOCUMENT NUMBER: 91:119858

TITLE: A Bioluminescence assay for PAP (3',5'-

diphosphoadenosine) and PAPS (3'-phosphoadenylyl sulfate

AUTHOR(S): Anderson, James Michael; Hori, Kazuo; Cormier, Milton J.

CORPORATE SOURCE: Boyd Grad. Stud. Res. Cent., Univ. Georgia, Athens, GA, 30602, USA

SOURCE: Methods in Enzymology (1978), 57 (Biolumin. Chemilumin.), 244-57  
CODEN: MENZAU; ISSN: 0076-6879

DOCUMENT TYPE: Journal

LANGUAGE: English

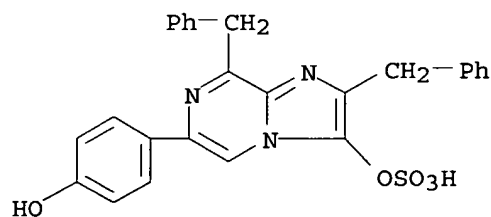
ED Entered STN: 12 May 1984

AB Procedures in the bioluminescence assay of PAP and PAPS using the luciferin-luciferase reaction in *Renilla reniformis* are described. The assay is sensitive to 0.1 pmol of PAP. The synthesis of the substrate benzyl luciferyl sulfate and isolation of luciferin sulfokinase and luciferase are also described.

IT 71369-28-3P  
RL: PREP (Preparation)  
(preparation of, as substrate for diphosphoadenosine and PAPS bioluminescence assay)

RN 71369-28-3 CAPLUS

CN Imidazo[1,2-a]pyrazin-3-ol, 6-(4-hydroxyphenyl)-2,8-bis(phenylmethyl)-, 3-(hydrogen sulfate), monopotassium salt (9CI) (CA INDEX NAME)



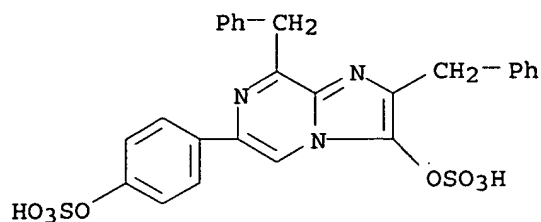
● K

IT 71369-27-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with aryl sulfatase)

RN 71369-27-2 CAPLUS

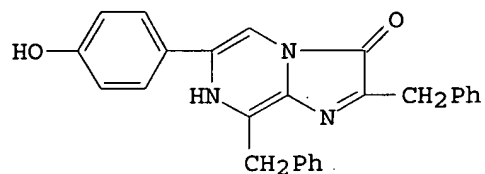
CN Imidazo[1,2-a]pyrazin-3-ol, 2,8-bis(phenylmethyl)-6-[4-(sulfooxy)phenyl]-, hydrogen sulfate (ester), dipotassium salt (9CI) (CA INDEX NAME)





● 2 K

L14 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1977:596264 CAPLUS  
 DOCUMENT NUMBER: 87:196264  
 TITLE: Substrate and substrate analog binding properties of  
 Renilla luciferase  
 AUTHOR(S): Matthews, John C.; Hori, Kazuo; Cormier, Milton J.  
 CORPORATE SOURCE: Dep. Biochem., Univ. Georgia, Athens, GA, USA  
 SOURCE: Biochemistry (1977), 16(24), 5217-20  
 CODEN: BICHAW; ISSN: 0006-2960  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 ED Entered STN: 12 May 1984  
 GI

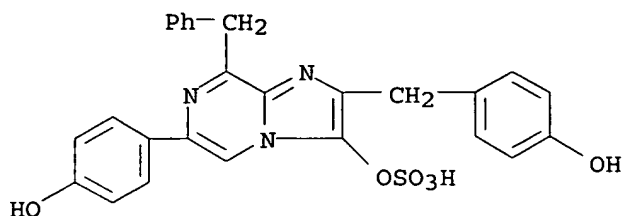


I

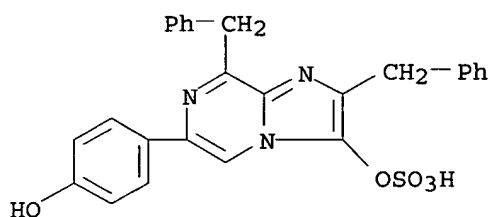
AB The binding characteristics of luciferin, luciferin analogs (e.g. I), and competitive inhibitors of the luciferin-luciferase reaction were studied. Luciferin binding and orientation in the single luciferin binding site of luciferase from *R. reniformis* are highly specific for and dependent upon the 3 group substituents of the luciferin mol., whereas the imidazolone-pyrazine nucleus of luciferin is not directly involved in binding. Anaerobic luciferin binding promotes a rapid concentration-dependent aggregation of luciferase which results in irreversible inactivation of the enzyme. This aggregation phenomenon is not observed upon binding of oxyluciferin, luciferyl sulfate, or luciferin analogs in which the substituent at the 2 position of the imidazolone-pyrazine ring has been substantially altered.

IT 55779-47-0 64750-83-0  
 RL: PROC (Process)  
 (luciferase binding of, structural factors in)

RN 55779-47-0 CAPLUS  
 CN Imidazo[1,2-a]pyrazin-3-ol, 6-(4-hydroxyphenyl)-2-[(4-hydroxyphenyl)methyl]-8-(phenylmethyl)-, 3-(hydrogen sulfate) (9CI) (CA INDEX NAME)



RN 64750-83-0 CAPLUS

CN Imidazo[1,2-a]pyrazin-3-ol, 6-(4-hydroxyphenyl)-2,8-bis(phenylmethyl)-,  
3-(hydrogen sulfate) (9CI) (CA INDEX NAME)

L14 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1978:50764 CAPLUS

DOCUMENT NUMBER: 88:50764

TITLE: Complete structure of Renilla luciferin and luciferyl sulfate

AUTHOR(S): Inoue, Shoji; Kakoi, Hisae; Murata, Mikiko; Goto, Toshio; Shimomura, Osamu

CORPORATE SOURCE: Fac. Pharm., Meijo Univ., Nagoya, Japan

SOURCE: Tetrahedron Letters (1977), (31), 2685-8

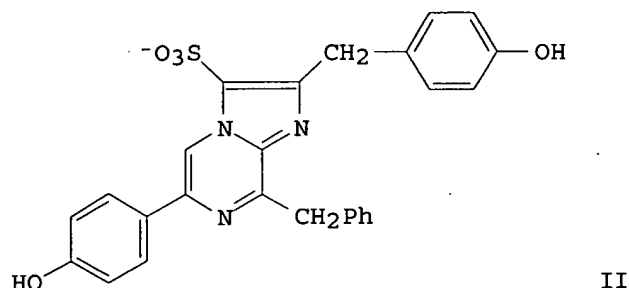
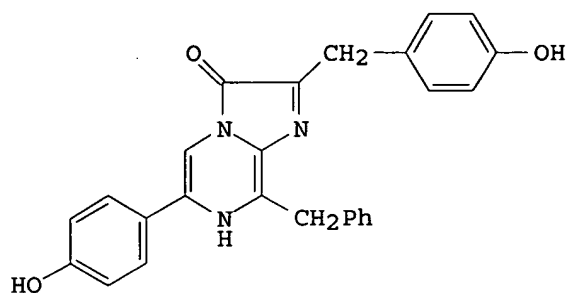
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

GI



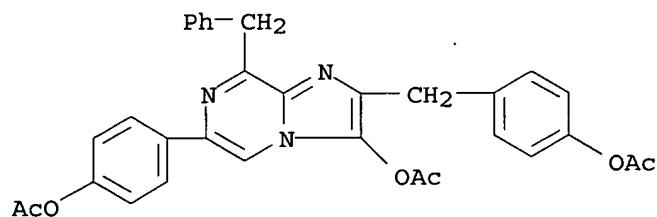
AB Examination of Renilla exts. showed that Renilla luciferin is coelenterazine (I). The structure of natural luciferyl sulfate was determined as II by comparison of natural and synthetic II. II was synthesized from I by sequential treatment with (AcO)<sub>2</sub>O, MeOH/NH<sub>3</sub>, and pyridine-SO<sub>3</sub> complex and hydrolysis with MeOH/NaOH.

IT 65417-16-5P 65417-17-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and hydrolysis of)

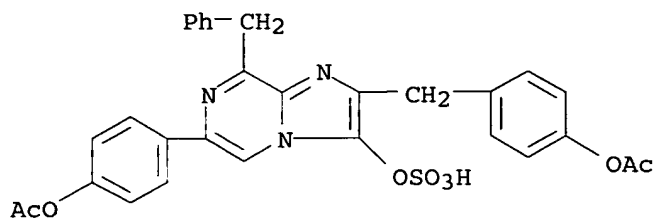
RN 65417-16-5 CAPLUS

CN Imidazo[1,2-a]pyrazin-3-ol, 6-[4-(acetyloxy)phenyl]-2-[[4-(acetyloxy)phenyl]methyl]-8-(phenylmethyl)-, acetate (ester) (9CI) (CA INDEX NAME)



RN 65417-17-6 CAPLUS

CN Imidazo[1,2-a]pyrazin-3-ol, 6-[4-(acetyloxy)phenyl]-2-[[4-(acetyloxy)phenyl]methyl]-8-(phenylmethyl)-, hydrogen sulfate (ester), sodium salt (9CI) (CA INDEX NAME)



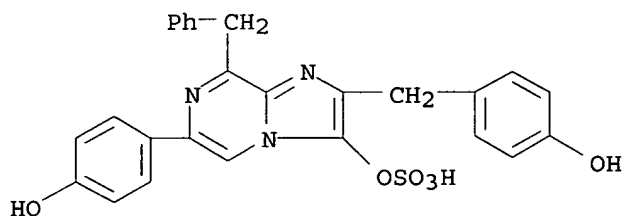
● Na

IT 65417-14-3P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(preparation and structure of)

RN 65417-14-3 CAPLUS

CN Imidazo[1,2-a]pyrazin-3-ol, 6-(4-hydroxyphenyl)-2-[(4-hydroxyphenyl)methyl]-8-(phenylmethyl)-, 3-(hydrogen sulfate), monosodium salt (9CI) (CA INDEX NAME)

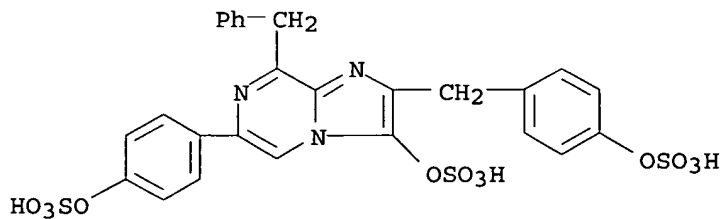


● Na

IT 65417-15-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 65417-15-4 CAPLUS

CN Imidazo[1,2-a]pyrazin-3-ol, 8-(phenylmethyl)-6-[4-(sulfooxy)phenyl]-2-[[4-(sulfooxy)phenyl]methyl]-, hydrogen sulfate (ester), trisodium salt (9CI)  
(CA INDEX NAME)

●3 Na

L14 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1975:405600 CAPLUS

DOCUMENT NUMBER: 83:5600

TITLE: Chemical nature of bioluminescence systems in  
coelenterates

AUTHOR(S): Shimomura, Osamu; Johnson, Frank H.

CORPORATE SOURCE: Dep. Biol., Princeton Univ., Princeton, NJ, USA

SOURCE: Proceedings of the National Academy of Sciences of the  
United States of America (1975), 72(4), 1546-9  
CODEN: PNASA6; ISSN: 0027-8424

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 12 May 1984

AB Anal. of substances involved in light-emitting reactions among bioluminescent coelenterates revealed a pronounced uniformity in the structural features of initial reactants, i.e., luciferins and photoprotein chromophores, as well as the light-emitter product. This product is structurally identical among the different classes of coelenterates; i.e., Hydrozoa (the jellyfish, Aequorea), Anthozoa (the sea cactus, Cavernularia; sea pansy, Renilla; and sea pen, Leiophtilus), and very likely also the Scyphozoa (the jellyfish, Pelagia). In each of these instances the reaction product, 2-(p-hydroxyphenylacetyl)amino-3-benzyl-5-(p-hydroxyphenyl) pyrazine, is the actual light-emitter, whether it occurs in a Ca<sup>2+</sup>-triggered photoprotein type of luminescence or in a luciferin-luciferase type. The evidence indicates that in certain coelenterates, e.g., Cavernularia, these 2 types are equally significant, whereas in others (Renilla and Leiophtilus) the luciferin-luciferase type predominates over the Ca-triggerable photoprotein type. Only the photoprotein type functions in the luciferaseless jellyfish, Aequorea. In all instances investigated, the structure of the light-emitter prior to the luminescence reaction appears to be essentially the same as that of the chromophore of unreacted aequorin. The product of the luminescence reaction is absent in exts. of nonluminous species. However, a product very similar to that of luminescent coelenterates occurs also in representatives of other phyla, including the cephalopod molluscs, e.g., the "firefly squid" Watasenia and probably various ctenophores as well.

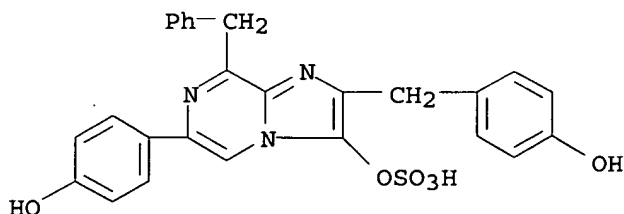
IT 55779-47-0

RL: BIOL (Biological study)

(in calcium-induced luminescence of coelenterates)

RN 55779-47-0 CAPLUS

CN Imidazo[1,2-a]pyrazin-3-ol, 6-(4-hydroxyphenyl)-2-[(4-hydroxyphenyl)methyl]-8-(phenylmethyl)-, 3-(hydrogen sulfate) (9CI) (CA INDEX NAME)



L14 ANSWER 24 OF 27 USPATFULL on STN

ACCESSION NUMBER: 2004:221330 USPATFULL

TITLE: Luminescence-based methods and probes for measuring cytochrome P450 activity

INVENTOR(S): Cali, James J., Verona, WI, UNITED STATES  
Klaubert, Dieter, Arroyo Grande, CA, UNITED STATES  
Daily, William, Santa Maria, CA, UNITED STATES  
Ho, Samuel Kin Sang, New Bedford, MA, UNITED STATES  
Frackman, Susan, Madison, WI, UNITED STATES  
Hawkins, Erika, Madison, WI, UNITED STATES  
Wood, Keith V., Mount Horeb, WI, UNITED STATES

PATENT ASSIGNEE(S): Promega Corporation (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004171099	A1	20040902
APPLICATION INFO.:	US 2003-665314	A1	20030919 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-412254P	20020920 (60)
	US 2003-483309P	20030627 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	MCDONNELL BOEHNEN HULBERT & BERGHOFF LLP, 300 S. WACKER DRIVE, 32ND FLOOR, CHICAGO, IL, 60606	
NUMBER OF CLAIMS:	168	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	26 Drawing Page(s)	
LINE COUNT:	3802	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

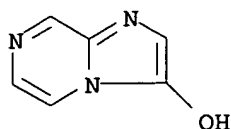
AB The present invention provides methods, compositions, substrates, and kits useful for analyzing the metabolic activity in cells, tissue, and animals and for screening test compounds for their effect on cytochrome P450 activity. In particular, a one-step and two-step methods using luminogenic molecules, e.g. luciferin or coelenterazines, that are cytochrome P450 substrates and that are also bioluminescent enzyme, e.g., luciferase, pro-substrates are provided. Upon addition of the luciferin derivative or other luminogenic molecule into a P450 reaction, the P450 enzyme metabolizes the molecule into a bioluminescent enzyme substrate, e.g., luciferin and/or luciferin derivative metabolite, in a P450 reaction. The resulting metabolite(s) serves as a substrate of the bioluminescent enzyme, e.g., luciferase, in a second light-generating reaction. Luminescent cytochrome P450 assays with low background signals and high sensitivity are disclosed and isoform selectivity is demonstrated. The present invention also provides an improved method for performing luciferase reactions which employs added pyrophosphatase to remove inorganic pyrophosphate, a luciferase inhibitor which may be present in the reaction mixture as a contaminant or may be generated during the reaction. The present method further provides a method for stabilizing and prolonging the luminescent signal in a luciferase-based assay using luciferase stabilizing agents such as reversible luciferase inhibitors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

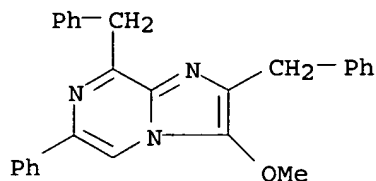
IT 676460-49-4D, Imidazo[1,2-a]pyrazin-3-ol, derivs.  
(luminescent cytochrome P 450 assay using luciferase, luciferin derivs.  
and pyrophosphatase, and drug screening applications)

RN 676460-49-4 USPTATFULL

CN Imidazo[1,2-a]pyrazin-3-ol (9CI) (CA INDEX NAME)



IT 676460-47-2P, Coelenterazine HH methyl ether  
 (luminescent cytochrome P 450 assay using luciferase, luciferin derivs.  
 and pyrophosphatase, and drug screening applications)  
 RN 676460-47-2 USPATFULL  
 CN Imidazo[1,2-a]pyrazine, 3-methoxy-6-phenyl-2,8-bis(phenylmethyl)- (9CI)  
 (CA INDEX NAME)



L14 ANSWER 25 OF 27 USPATFULL on STN  
 ACCESSION NUMBER: 2004:45231 USPATFULL  
 TITLE: Aryl-substituted n, n-heterocyclic compounds, method  
 for their preparation and their use in therapeutics and  
 diagnostics  
 INVENTOR(S): Marchand-Brynaert, Jacqueline, Marcinelle, BELGIUM  
 Cavalier, Jean-Francois, Marguerittes, FRANCE  
 Rees, Jean-Francois, Hevillers, BELGIUM  
 De Tollenaere, Catherine, Louvain-la-Neuve, GERMANY,  
 FEDERAL REPUBLIC OF  
 Burton, Maggi, Vedrin-Namur, BELGIUM

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004034225	A1	20040219
APPLICATION INFO.:	US 2003-276398	A1	20030728 (10)
	WO 2001-EP5588		20010516

	NUMBER	DATE
PRIORITY INFORMATION:	EP 2000-870107	20000517
	EP 2000-870293	20001212
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201	
NUMBER OF CLAIMS:	32	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	6 Drawing Page(s)	
LINE COUNT:	958	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to an aryl substituted pyrazine compound  
 of the general formula I, II, III or IV with the exception of a)  
 2-amino-3,5-bis(p-methoxyphenyl)-1,4-pyrazine (CD29),  
 2-amino-5-phenyl-1,4-pyrazine (CD12), 2-amino-5-(4-methoxyphenyl)-1,4-

pyrazine (CD17) and 2-amino-5-(4-hydroxyphenyl)-1,4-pyrazine (CD22) and of b) their corresponding imidazolopyrazinone compounds. Another aspect of the invention relates to anti-oxidant compounds of formula V. Another aspect of the invention is a compound which upon oxidation results via a cascade in a second anti-oxidant compound and a third compound.

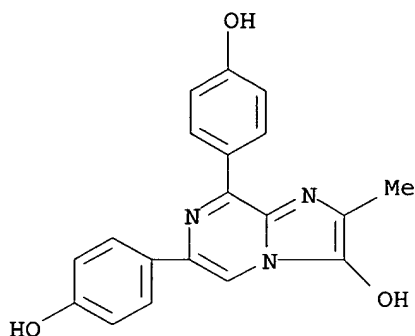
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 374588-75-7P 374588-76-8P 374588-77-9P  
374588-78-0P

(preparation of aminopyrazines and imidazolopyrazinones as antioxidants)

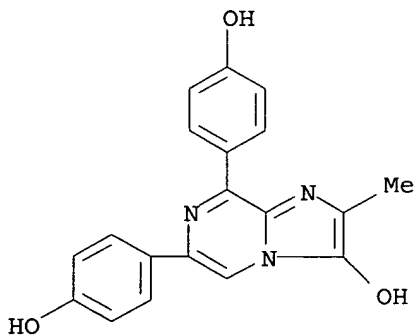
RN 374588-75-7 USPTFULL

CN Imidazo[1,2-a]pyrazin-3-ol, 6,8-bis(4-hydroxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 374588-76-8 USPTFULL

CN Imidazo[1,2-a]pyrazin-3-ol, 6,8-bis(4-hydroxyphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

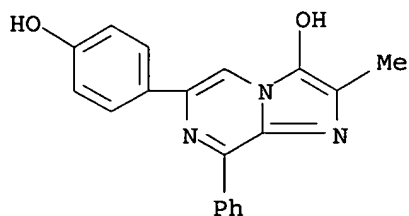


● HCl

RN 374588-77-9 USPTFULL

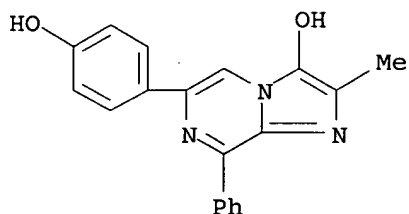
CN Imidazo[1,2-a]pyrazin-3-ol, 6-(4-hydroxyphenyl)-2-methyl-8-phenyl- (9CI) (CA INDEX NAME)





RN 374588-78-0 USPATFULL

CN Imidazo[1,2-a]pyrazin-3-ol, 6-(4-hydroxyphenyl)-2-methyl-8-phenyl-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

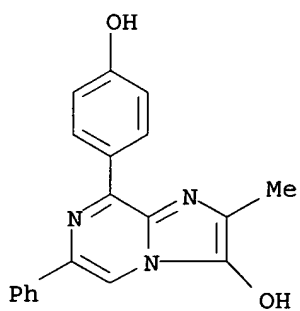
IT 374588-79-1P 374588-80-4P 374588-85-9P

374588-86-0P 374588-87-1P

(preparation of aminopyrazines and imidazolopyrazinones as antioxidants)

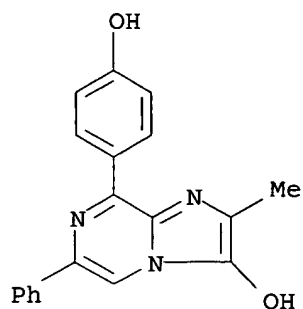
RN 374588-79-1 USPATFULL

CN Imidazo[1,2-a]pyrazin-3-ol, 8-(4-hydroxyphenyl)-2-methyl-6-phenyl- (9CI)  
(CA INDEX NAME)



RN 374588-80-4 USPATFULL

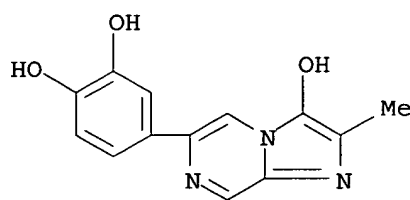
CN Imidazo[1,2-a]pyrazin-3-ol, 8-(4-hydroxyphenyl)-2-methyl-6-phenyl-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

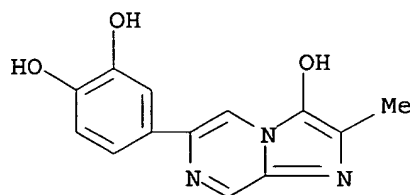
RN 374588-85-9 USPATFULL

CN 1,2-Benzenediol, 4-(3-hydroxy-2-methylimidazo[1,2-a]pyrazin-6-yl)- (9CI)  
(CA INDEX NAME)



RN 374588-86-0 USPATFULL

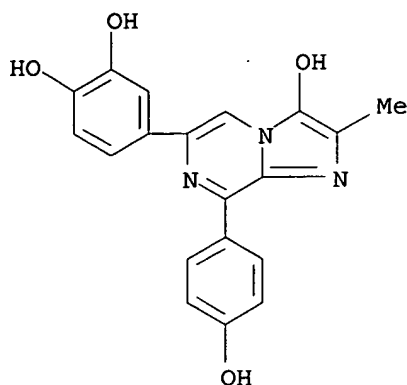
CN 1,2-Benzenediol, 4-(3-hydroxy-2-methylimidazo[1,2-a]pyrazin-6-yl)-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 374588-87-1 USPATFULL

CN 1,2-Benzenediol, 4-[3-hydroxy-8-(4-hydroxyphenyl)-2-methylimidazo[1,2-a]pyrazin-6-yl]- (9CI) (CA INDEX NAME)



L14 ANSWER 26 OF 27 USPATFULL on STN

ACCESSION NUMBER: 2003:219800 USPATFULL

TITLE: Compositions and methods to co-localize luminophores with luminescent proteins

INVENTOR(S): Wood, Keith, Mt. Horeb, WI, UNITED STATES  
Hawkins, Erika, Madison, WI, UNITED STATES  
Scurria, Mike, San Luis Obispo, CA, UNITED STATES  
Klaubert, Dieter, Arroyo Grande, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003153090	A1	20030814
APPLICATION INFO.:	US 2001-53482	A1	20011102 (10)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	BRINKS HOFER GILSON & LIONE, P.O. BOX 10395, CHICAGO, IL, 60610		
NUMBER OF CLAIMS:	65		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	3 Drawing Page(s)		
LINE COUNT:	1436		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of measuring the enzymatic activity of a luciferase includes contacting a luminogenic protein, such as a luciferase, with a protected luminophore to form a composition; and detecting light produced from the composition. The protected luminophore provides increased stability and improved signal-to-background ratios relative to the corresponding unmodified coelenterazine.

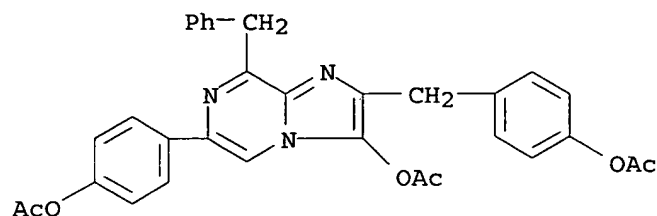
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 65417-16-5P 524066-91-9P 524066-92-0P  
524066-93-1P 524066-94-2P 524066-95-3P  
524066-96-4P

(compns., methods and kits pertaining to luminescent compds.)

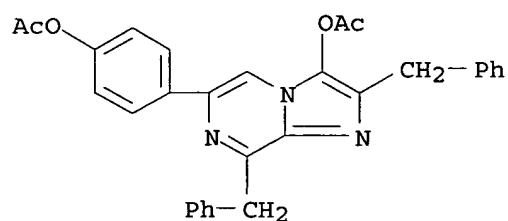
RN 65417-16-5 USPATFULL

CN Imidazo[1,2-a]pyrazin-3-ol, 6-[4-(acetyloxy)phenyl]-2-[[4-(acetyloxy)phenyl]methyl]-8-(phenylmethyl)-, acetate (ester) (9CI) (CA INDEX NAME)



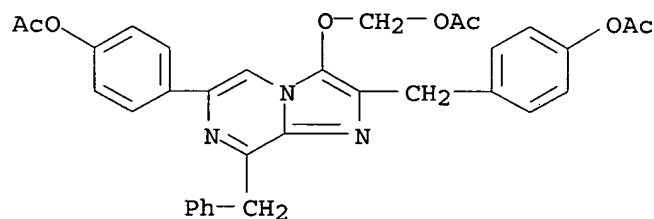
RN 524066-91-9 USPATFULL

CN Imidazo[1,2-a]pyrazin-3-ol, 6-[4-(acetyloxy)phenyl]-2,8-bis(phenylmethyl)-, acetate (ester) (9CI) (CA INDEX NAME)



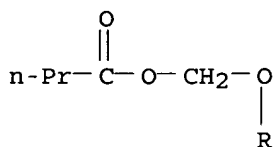
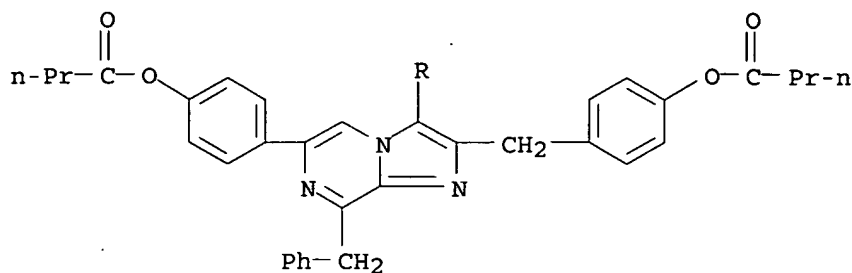
RN 524066-92-0 USPATFULL

CN Phenol, 4-[3-[(1-oxobutoxy)methoxy]-2-[[4-(1-oxobutoxy)phenyl]methyl]-8-(phenylmethyl)imidazo[1,2-a]pyrazin-6-yl]-, acetate (ester) (9CI) (CA INDEX NAME)



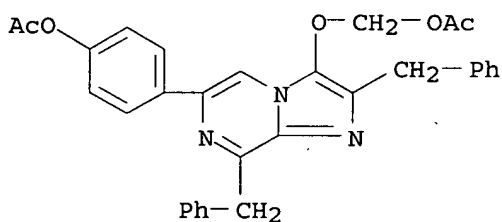
RN 524066-93-1 USPATFULL

CN Butanoic acid, 4-[3-[(1-oxobutoxy)methoxy]-2-[[4-(1-oxobutoxy)phenyl]methyl]-8-(phenylmethyl)imidazo[1,2-a]pyrazin-6-yl]phenyl ester (9CI) (CA INDEX NAME)



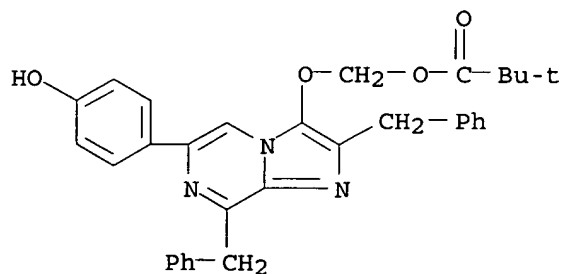
RN 524066-94-2 USPATFULL

CN Phenol, 4-[3-[(acetyloxy)methoxy]-2,8-bis(phenylmethyl)imidazo[1,2-a]pyrazin-6-yl]-, acetate (ester) (9CI) (CA INDEX NAME)



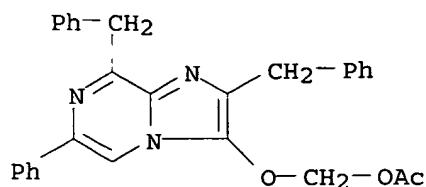
RN 524066-95-3 USPATFULL

CN Propanoic acid, 2,2-dimethyl-, [[6-(4-hydroxyphenyl)-2,8-bis(phenylmethyl)imidazo[1,2-a]pyrazin-3-yl]oxy]methyl ester (9CI) (CA INDEX NAME)

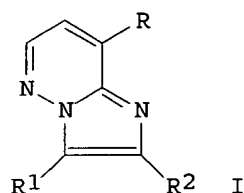


RN 524066-96-4 USPATFULL

CN Methanol, [[6-phenyl-2,8-bis(phenylmethyl)imidazo[1,2-a]pyrazin-3-yl]oxy]-, acetate (ester) (9CI) (CA INDEX NAME)



L14 ANSWER 27 OF 27 CASREACT COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 97:23721 CASREACT  
 TITLE: Condensation reactions of some  $\alpha$ -aminodiazines with pyruvaldehyde dimethyl acetal  
 AUTHOR(S): Barlin, Gordon B.; Brown, Ian L.; Golic, Ljubo; Kaucic, Venceslav  
 CORPORATE SOURCE: John Curtin Sch. Med. Res., Australian Natl. Univ., Canberra, 2601, Australia  
 SOURCE: Australian Journal of Chemistry (1982), 35(2), 423-30  
 CODEN: AJCHAS; ISSN: 0004-9425  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 CLASSIFICATION: 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))  
 GRAPHIC IMAGE:

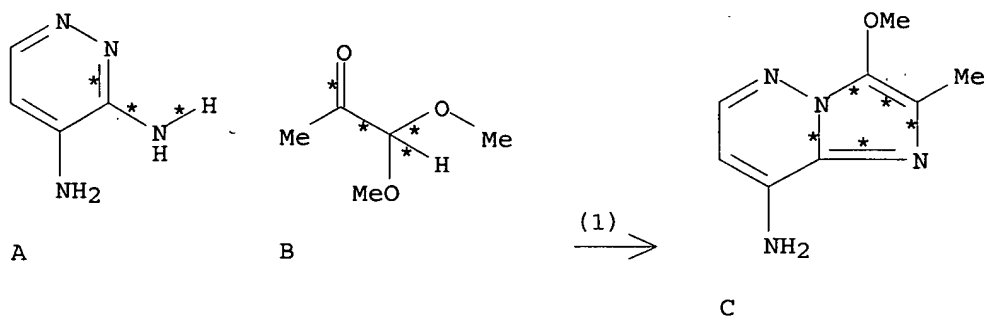


ABSTRACT:  
 The condensation of 3-amino-4-methylaminopyridazine with pyruvaldehyde di-Me acetal in HCl-MeOH gave imidazopyridazine derivative (I; R = MeNH, R1 = MeO, R2 = Me) identified by x-ray anal. Similarly prepared were 4 addnl. I (R, R1, R2 = H2N, MeO, Me; H2N, EtO, Me; MeNH, EtO, Me; H, MeO, Me) and imidazo[1,2-a]pyrazine and -pyrimidine derivs.

SUPPL. TERM: condensation aminomethylaminopyridazine pyruvaldehyde dimethyl acetal; imidazopyridazine; imidazopyrazine; imidazopyrimidine  
 INDEX TERM: Condensation reaction  
 (of amino(methylamino)pyridazine with pyruvaldehyde di-Me acetal, imidazopyridazine derivs. from)  
 INDEX TERM: 6342-56-9  
 ROLE: RCT (Reactant); RACT (Reactant or reagent)  
 (condensation of, with aminopyridazine, aminopyrazine and aminopyrimidine derivs.)  
 INDEX TERM: 109-12-6 5049-61-6 5469-70-5 17645-12-4 82214-58-2

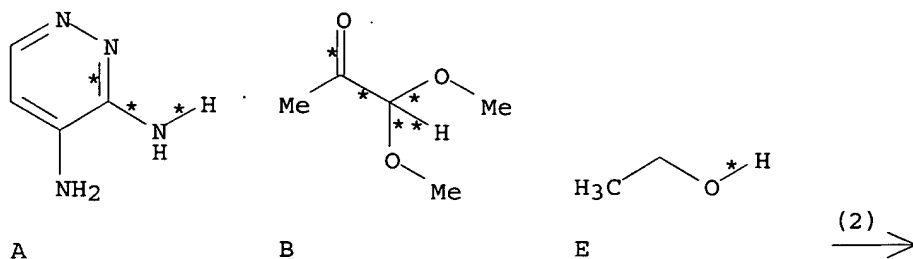
INDEX TERM: ROLE: RCT (Reactant); RACT (Reactant or reagent)  
 (condensation of, with pyruvaldehyde di-Me acetal)  
 82214-59-3P  
 INDEX TERM: ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP  
 (Preparation); RACT (Reactant or reagent)  
 (preparation and hydrogenation of)  
 82214-60-6P 82214-61-7P 82214-62-8P 82214-63-9P  
 82214-64-0P 82214-65-1P 82214-66-2P 82214-68-4P  
 INDEX TERM: ROLE: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 60-34-4  
 INDEX TERM: ROLE: PROC (Process)  
 (substitution of, with dichloro(methylamino)pyridazine)  
 17645-06-6  
 INDEX TERM: ROLE: PROC (Process)  
 (substitution of, with methylhydrazine)

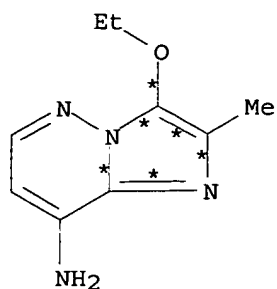
RX(1) OF 8 A + B ==> C



RX(1) RCT A 61070-98-2, B 6342-56-9  
 PRO C 82214-60-6  
 CAT 67-56-1 MeOH

RX(2) OF 8 A + B + E ==> F

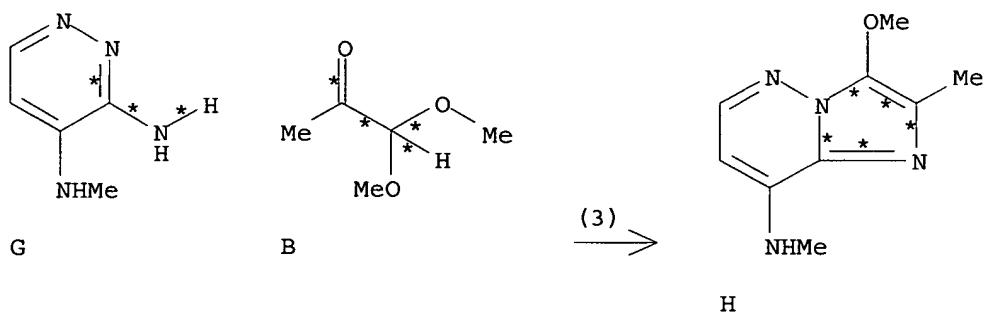




F

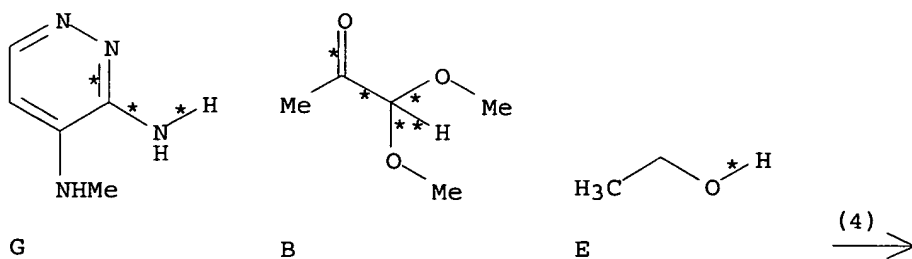
RX (2) RCT A 61070-98-2, B 6342-56-9, E 64-17-5  
 PRO F 82214-61-7

RX (3) OF 8 G + B ==> H

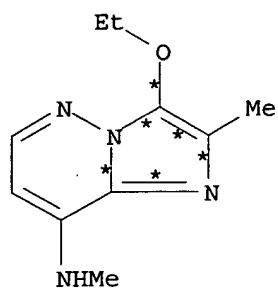


RX (3) RCT G 17645-12-4, B 6342-56-9  
 PRO H 82214-62-8  
 CAT 67-56-1 MeOH

RX (4) OF 8 G + B + E ==> I



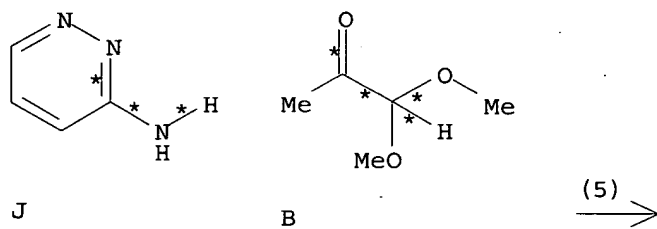




I

RX(4) RCT G 17645-12-4, B 6342-56-9, E 64-17-5  
 PRO I 82214-63-9

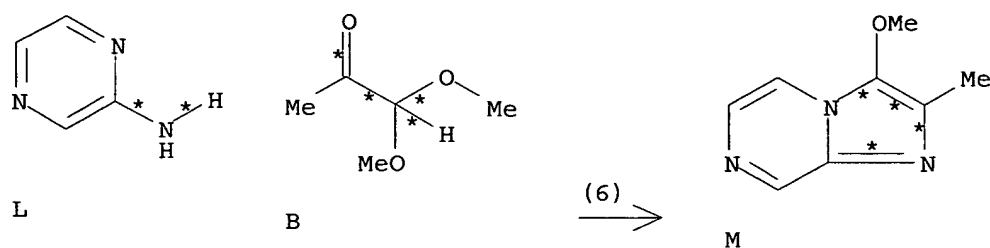
RX(5) OF 8 J + B ==> K



K

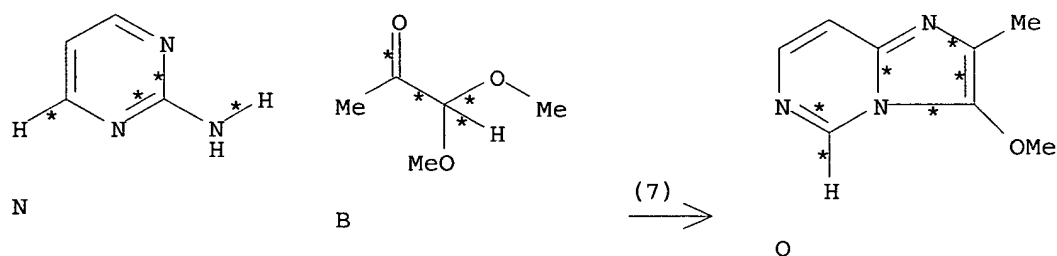
RX(5) RCT J 5469-70-5, B 6342-56-9  
 PRO K 82214-64-0  
 CAT 67-56-1 MeOH

RX(6) OF 8 L + B ==> M



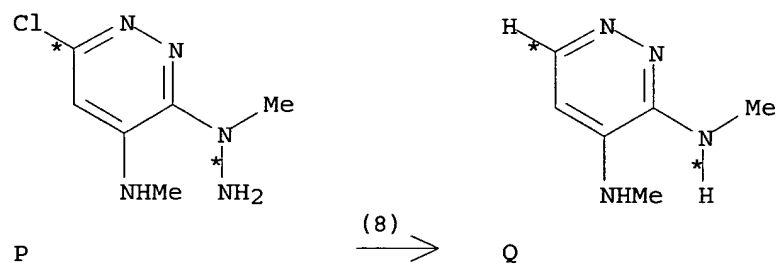
RX (6)      RCT    L 5049-61-6, B 6342-56-9  
              PRO    M 87814-38-8  
              CAT    67-56-1 MeOH

RX (7) OF 8      N + B ==> O



RX (7)      RCT    N 109-12-6, B 6342-56-9  
              PRO    O 82214-66-2  
              CAT    67-56-1 MeOH

RX (8) OF 8      P ==> Q



RX (8)      RCT    P 82214-59-3  
              PRO    Q 82214-67-3

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